

Access DB# <u>930</u>+3

SEARCH REQUEST FORM

Scientific and Technical Inf Phation Genter

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	Requester's Full Name: WAYN Art Unit: Phone	Q C John Number 30 8-4634	(STIC) Examiner #: 7/299 I Serial Number: /0/	Pate: 02M403
	Mail Box and Bldg/Room Location 2001 (M)			PAPER DISK E-MAI
	If more than one search is sub			
	Please provide a detailed statement of th Include the elected species or structures, utility of the invention. Define any term known. Please attach a copy of the cover	keywords, synonyms, acror is that may have a special me	nyms, and registry numbers, and concarning. Give examples or relevant of	nbine with the concept or
٠.	Title of Invention:	jee all	uted sheet	
	Inventors (please provide full names):		11	
7	<u>q </u>			
	Earliest Priority Filing Date:	<u> </u>	_	
	For Sequence Searches Only Please incl. appropriate serial number.	ude all pertinent information (parent, child, divisional, or issued pate	nt numbers) along with the
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	STAFF USE ONLY	Type of Search	Vendors and cost wher	e applicable
	Searcher: BB	NA Sequence (#)	STN33/	
,	Searcher Phone #:	AA Sequence (#)	Dialog	
	Searcher Location:	Structure (#)	Questel/Orbit	
	Date Searcher Picked Up:	Bibliographic	Dr.Link	· .
	Date Completed: 5-8-03	Litigation	Lexis/Nexis	
	Searcher Prep & Review Time: 26	Fulltext	Sequence Systems	·
	Clerical Prep Time:	Patent Family	WWW/Internet	
	Online Time: //o	Other	Other (enecify)	

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FILE 'REGISTRY' ENTERED AT 14:53:27 ON 08 MAY 2003
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 6 MAY 2003 HIGHEST RN 511508-58-0 DICTIONARY FILE UPDATES: 6 MAY 2003 HIGHEST RN 511508-58-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

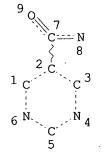
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

L1

STR



NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS

STEREO ATTRIBUTES: NONE

4706 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 12272 ITERATIONS 4706 ANSWERS

SEARCH TIME: 00.00.01

=> d que nos 114

L1 STF

L3 4706 SEA FILE=REGISTRY SSS FUL L1

1700 SEA FILE-REGISTRY ABB=ON L3 AND EMBASE/LC - no refs in embase

=> fir cap1; d que nos 18; d que nos 110; s 18 or 110 FILE ('CAPLUS') ENTERED AT 15:00:59 ON 08 MAY 2003

Jones 10/075521 Page 2

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FILE COVERS 1907 - 8 May 2003 VOL 138 ISS 19 FILE LAST UPDATED: 7 May 2003 (20030507/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
T.1
                STR
           4706 SEA FILE=REGISTRY SSS FUL L1
L3
L4
            546 SEA FILE=CAPLUS ABB=ON L3
L5
           3260 SEA FILE=CAPLUS ABB=ON
                                        HEADACHE/CT
L6
           2815 SEA FILE=CAPLUS ABB=ON
                                        MIGRAINE?/OBI
L7
           1293 SEA FILE=CAPLUS ABB=ON ANTIMIGRAINE/OBI
              5 SEA FILE=CAPLUS ABB=ON L4 AND (L5 OR L6 OR L7)
                STR
L1
L3
           4706 SEA FILE=REGISTRY SSS FUL L1
L4
            546 SEA FİLE=CAPLUS ABB=ON L3
L9
          21007 SEA FILE=CAPLUS ABB=ON
                                        POTASSIUM(L)CHANNEL#/OBI
L10
              3 SEA FILE=CAPLUS ABB=ON L4 AND L9
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L40. 6 L8 OR L10

=> fil uspatf; d que nos 123

FILE 'USPATFULL' ENTERED AT 15:00:59 ON 08 MAY 2003 CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 6 May 2003 (20030506/PD)
FILE LAST UPDATED: 6 May 2003 (20030506/ED)
HIGHEST GRANTED PATENT NUMBER: US6560778
HIGHEST APPLICATION PUBLICATION NUMBER: US2003084495
CA INDEXING IS CURRENT THROUGH 6 May 2003 (20030506/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 6 May 2003 (20030506/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2003
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2003

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>>> USPAT2 is now available. USPATFULL contains full text of the
>>> original, i.e., the earliest published granted patents or
>>> applications. USPAT2 contains full text of the latest US
>>> publications, starting in 2001, for the inventions covered in
>>> USPATFULL. A USPATFULL record contains not only the original
>>> published document but also a list of any subsequent
</</pre>
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Jones 10/075521 Page 3

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>>> publications. The publication number, patent kind code, and
                                                                       <<<
>>> publication date for all the US publications for an invention
                                                                       <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL
                                                                       <<<
>>> records and may be searched in standard search fields, e.g., /PN,
                                                                       <<<
>>> /PK, etc.
>>>
    USPATFULL and USPAT2 can be accessed and searched together
                                                                       <<<
>>> through the new cluster USPATALL. Type FILE USPATALL to
                                                                       <<<
>>> enter this cluster.
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>>>
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>>> Use USPATALL when searching terms such as patent assignees,
>>> classifications, or claims, that may potentially change from
                                                                       <<<
>>> the earliest to the latest publication.
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This file contains CAS Registry Numbers for easy and accurate substance identification.

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T.1
                STR
L3
           4706 SEA FILE=REGIŚTRY SSS FUL L1
           1394 SEA FILE=REGISTRY ABB=ON L3 AND USPATFULL/LC
L12
            167 SEA FILE=USPATFULL ABB=ON L12
L18
          12803 SEA FILE-U$PATFDLL ABB=ON HEADACHE# OR MIGRAINE# OR ANTIMIGRAI
L19
                NE# OR STATUS MIGRAINOSUS OR HEMICRANIA
                                           (HEADACHE# OR MIGRAINE# OR ANTIMIGRA
L20
           1352 SEA FILE=USPATFULL ABB=ON
                INE# OR STATUS MIGRAINOSUS OR HEMICRANIA)/IT
L21
           2313 SEA FILE=USPATFULL ABB=ON POTASSIUM(3A)CHANNEL?
            639 SEA FILE=USPATFULL ABB=ON
L22
                                           (POTASSIUM(3A)CHANNEL?)/IT
           . 13 SEA FILE-USPATFULL ABB-ON L18 AND (L19 OR L20 OR L21 OR L22)
L23
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=> dup rem 140,123

FILE 'CAPLUS' ENTERED AT 15:01:10 ON 08 MAY 2003

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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ANSWERS '1-6' FROM FILE CAPLUS ANSWERS '7-18' FROM FILE USPATFULL

=> d ibib abs hitstr 1-18

L41 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 1

ACCESSION NUMBER: 2002

2002:696658 CAPLUS

DOCUMENT NUMBER: 137:210975

TITLE: Modulators of KCNQ potassium

channels and use thereof in treating

migraine and mechanistically related diseases

INVENTOR(S): Dworetzky, Steven I.; Gribkoff, Valentin K.; Kinney,

Gene G.; Hewawasam, Piyasena

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 22 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	PATENT NO.				KIND DATE			>	DEFECATION NO. DATE)		
	2002128277 2002072088			A1 A2		20020912 20020919			US 2002-75703 WO 2002-US437					2 <u>002</u> 0			~	/ 1	
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	ĢE,	GH,		
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,		
														NO,			PH,		
		PL,												TN,					
	•	UA,	UG,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM	
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,		
		CY,	DE,	DK,	ES,	FI,	FR,	ĢB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,		
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
PRIORITY	APP:	LN.	INFO	.:				1	US 2	001-	2699	67P	P	2001	0220				

Alaer 10/075521

AB Compds. which function as modulators, particularly, openers, of human KCNQ potassium channel proteins or polypeptides, particularly, central nervous system (CNS)-located KCNQ potassium channels, and heteromultimers thereof, and their use in the treatment of migraine are provided by the present invention. One novel type of potassium channel polypeptide openers provided by the present invention is the fluorooxindole compds., described for the first time as therapeutics for the treatment of migraine by preventing the asynchronous firing of neurons. Other KCNQ potassium channel opener compds. that are also useful in the treatments of the invention include 2,4-disubstituted pyrimidine-5-carboxamide derivs. One or more of the compds. according to the present invention may be utilized alone, in combination, or in conjunction with other treatment modalities for reducing, ameliorating and/or alleviating migraine or diseases similar to, or mechanistically related to, migraine, e.g., cluster headache.

IT 452096-91-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(modulators of KCNQ **potassium channels** and use thereof in treating **migraine** and mechanistically related diseases)

RN 452096-91-2 CAPLUS

5-Pyrimidinecarboxamide, 2-(1-pyrrolidinyl)-4-(trifluoromethyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

CN

Jones 10/075521 L41 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2002:964146 CAPLUS DOCUMENT NUMBER: 138:39187 Preparation of piperidinecarboxylates and related TITLE: compounds as NMDA NR2B receptor antagonists for the treatment or prevention of migraine. Allen, Christopher; Koblan, Ken S.; Sleeth, Timothy INVENTOR(S): Merck & Co., Inc., USA PATENT ASSIGNEE(S): PCT Int. Appl., 185 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. KIND DATE DATE PATENT NO. ____ WO 2002-US21069 20021219 20020607 WO 2002100352 Α2 A3 20030327 WO 2002100352 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2001-297672P P 20010612 PRIORITY APPLN. INFO.: A method for treating or preventing migraines comprises administration of AB an NR2B receptor antagonist (no data). The invention also encompasses the combination of an NR2B antagonist with a cyclooxygenase-2 selective inhibitor, a calcitonin gene-related peptide receptor (CGRP) ligand, a leukotriene receptor antagonist, or a 5HT1B/1D agonist for the treatment or prevention of migraines. Thus, 4-hydroxybenzoic acid, 1-hydroxybenzotriazole hydrate, benzyl 4-(aminomethyl)piperidine-1carboxylate (prepn. given), and Et3N in DMF were treated with 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and the mixt. allowed to stir at room temp. for 18 h to give 4-[(4hydroxybenzoylamino)methyl]piperidine-1-carboxylic acid benzyl ester. ΙT 471249-73-7P 471250-35-8P 471250-45-0P 471250-46-1P 478552-66-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(prepn. of piperidinecarboxylates and related compds. as NR2B receptor antagonists for the treatment or prevention of migraine)

471249-73-7 CAPLUS RN

CN

1-Piperidinecarboxylic acid, 4-[[(5-pyrimidinylcarbonyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 471250-35-8 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[[1-[(2-phenylethyl)sulfonyl]-4piperidinyl]methyl] - (9CI) (CA INDEX NAME)

RN 471250-45-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-amino-N-[[1-[(2-phenylethyl)sulfonyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{H}_2\mathsf{N} & \mathsf{O} & \mathsf{O} \\ \mathsf{I} & \mathsf{S} - \mathsf{CH}_2 - \mathsf{CH}_2 - \mathsf{Ph} \\ \mathsf{N} & \mathsf{O} & \mathsf{I} \\ \mathsf{N} & \mathsf{O} & \mathsf{I} \\ \mathsf{O} & \mathsf{O} \end{array}$$

RN 471250-46-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-amino-N-[[1-[[2-(4-methylphenyl)ethyl]sulfonyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 478552-66-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-amino-N-[[1-[(2-phenylethyl)sulfonyl]-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{H_2N} & \mathsf{N} & \mathsf{O} \\ \mathsf{N} & \mathsf{S-CH_2-CH_2-Ph} \\ \mathsf{N} & \mathsf{O} & \mathsf{N} \\ \mathsf{N} & \mathsf{O} & \mathsf{O} \\ \mathsf{N} & \mathsf{O} \\ \mathsf{N} & \mathsf{O} & \mathsf{O} \\ \mathsf{N} & \mathsf{O} & \mathsf{O} \\ \mathsf{N} & \mathsf{O} \\ \mathsf{N} & \mathsf{O} & \mathsf{O} \\ \mathsf{N} & \mathsf{O} \\ \mathsf{N} & \mathsf{O} & \mathsf{O} \\ \mathsf{N} & \mathsf{O} \\ \mathsf{N} & \mathsf{O} & \mathsf{O} \\ \mathsf{N} & \mathsf{O} \\ \mathsf{N} & \mathsf{O} \\ \mathsf{N} & \mathsf{O} & \mathsf{O} \\ \mathsf{N} $

● HCl

IT 471254-11-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of piperidinecarboxylates and related compds. as NR2B receptor antagonists for the treatment or prevention of migraine)

RN 471254-11-2 CAPLUS

CN Carbamic acid, [5-[[[[1-[(2-phenylethyl)sulfonyl]-4-piperidinyl]methyl]amino]carbonyl]-2-pyrimidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L41 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

2002:813909 CAPLUS

DOCUMENT NUMBER:

137:325416

TITLE:

Preparation of substituted

imidazoles/oxazoles/thiazoles as large conductance

bod Lat

calcium-activated K channel openers

INVENTOR(S):

Hongu, Mitsuya; Hosaka, Thoshihiro; Kashiwagi, Toshihiko; Kono, Rikako; Kobayashi, Hiroyuki

PATENT ASSIGNEE(S):

Tanabe Seiyaku Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 302 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

: 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PAT	ENT	NO.		KI	KIND DATE				A.	PPLI	CATI	ON N	ο.	DATE						
•	WO 2002083111					2	2002	1024		W	20	02 - J	P372	3	20020415						
		W:	ΑE,	AG,	AL,	AU,	BA,	BB,	BG,	BR,	ΒZ,	CA,	CN,	CO,	CR,	CU,	CZ,	DM,			
															KR,						
			LT,	LV,	MA,	MG,	MK,	MN,	MX,	NO,	ΝZ,	OM,	PH,	PL,	RO,	SG,	SI,	SK,			
			TN,	TT,	UA,	US,	UZ,	VN,	YU,	ZA,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM		
		RW:	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,			
			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	TR,			
			BF,	ВJ,	CF;	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG			
PRIO	RITY	APP	LN.	INFO	. :				JP 2001-116436 A 20010416						0416						
										TD 2	001-	2/196	71	Δ	2001	N 220					

OTHER SOURCE(S):

MARPAT 137:325416

GT

- The title compds. [I; X = NR4, O, S; R1, R2 = H, halo, CO2H, etc.; R3 = aryl, heterocyclyl, alkyl; R4 = H, alkyl], useful in the prophylaxis and/or treatment for pollakiuria or urinary incontinence, were prepd. Thus, reacting 5-ethyl-2-iodo-4-(3-pyridyl)imidazole with 3-(hydroxymethyl)thiophene-2-boric acid in the presence of Pd(PPh3)4 and aq. 2M Na2CO3 in dimethoxyethane afforded I.2HCl [X = NH; R1 = Et; R2 = 3-pyridyl; R3 = 3-(hydroxymethyl)thien-2-yl] which showed 100% inhibition time of 10-20 min in test on the rhythmic bladder contractions induced by substance P in anesthetized rats.
- IT 473693-46-8P 473693-49-1P 473693-52-6P

473693-54-8P 473693-62-8P 473693-64-0P 473693-71-9P 473693-72-0P 473693-74-2P 473693-82-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of imidazoles/oxazoles/thiazoles as large conductance calcium-activated K channel openers)

RN 473693-46-8 CAPLUS

CN Benzeneacetic acid, 4-chloro-.alpha.-[[[2-(dimethylamino)-5-pyrimidinyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 473693-49-1 CAPLUS

CN 2-Thiopheneacetic acid, 5-chloro-.alpha.-[[[2-(dimethylamino)-5-pyrimidinyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 473693-52-6 CAPLUS

CN 3-Thiopheneacetic acid, .alpha.-[[[2-(dimethylamino)-5-pyrimidinyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 473693-54-8 CAPLUS

CN 2-Thiopheneacetic acid, .alpha.-[[[2-(dimethylamino)-5-pyrimidinyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Jones

RN 473693-62-8 CAPLUS

CN 3-Thiophenebutanoic acid, .gamma.-[[[2-(dimethylamino)-5-pyrimidinyl]carbonyl]amino]-.beta.-oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 473693-64-0 CAPLUS

CN 2-Thiophenebutanoic acid, .gamma.-[[[2-(dimethylamino)-5-pyrimidinyl]carbonyl]amino]-.beta.-oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 473693-71-9 CAPLUS

CN 2-Thiophenebutanoic acid, 5-chloro-.gamma.-[[[2-(dimethylamino)-5-pyrimidinyl]carbonyl]amino]-.beta.-oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 473693-72-0 CAPLUS

CN Benzenebutanoic acid, 4-chloro-.gamma.-[[[2-(dimethylamino)-5-pyrimidinyl]carbonyl]amino]-.beta.-oxo-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O \\ \parallel & \parallel \\ EtO-C-CH_2-C & O \\ \parallel & \parallel \\ CH-NH-C-NH-C-NM \\ \end{array}$$

RN 473693-74-2 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-(4-chloro-3-fluorophenyl)-2-oxoethyl]-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 473693-82-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(methylthio)- (9CI) (CA INDEX NAME)

L41 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

2002:793427 CAPLUS

DOCUMENT NUMBER:

137:310932

TITLE:

Preparation of N-substituted nonaryl heterocyclyl amides as NMDA/NR2B antagonists for relieving pain

INVENTOR(S):

Liverton, Nigel J.; Butcher, John W.; McIntyre,

Charles J.; Claiborne, Christopher F.; Claremon, David A.; McCauley, James A.; Romano, Joseph J.; Thompson,

Wayne; Munson, Peter M.

PATENT ASSIGNEE(S):

SOURCE:

Merck & Co., Inc., USA PCT Int. Appl., 270 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2002080928 A1 20021017 WO 2002-US10269 20020402

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,

PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,

UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2001-281166P P 20010403

OTHER SOURCE(S):

MARPAT 137:310932

GΙ

The title compds. [I; NonAr = nonarom. 5-7 membered contg. heteroatoms; A = (un)substituted Ph, pyrrolyl, imidazolyl, etc.; B = aryl(CH2)0-3(CH2)0-2CO, heteroaryl(CH2)1-3O(CH2)0-2CO, etc.; X = H, OH, F, etc.] which are effective as NMDA NR2B antagonists useful for relieving pain, were prepd. E.g., a 2-step synthesis of II, starting with 4-aminomethylpiperidine, was given. The compds. I exhibit IC50's of less than 50 .mu.M in the FLIPR and binding assays, and thus they have been found to exhibit biol. activity as NMDA NR2B antagonists.

ΙI

IT 471249-73-7P 471250-35-8P 471250-45-0P 471250-46-1P 471252-05-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-substituted nonaryl heterocyclyl amides as NMDA/NR2B antagonists for relieving pain)

RN 471249-73-7 CAPLUS

CN

1-Piperidinecarboxylic acid, 4-[[(5-pyrimidinylcarbonyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ || \\ C-O-CH_2-Ph \\ \hline \\ N & \\ \end{array}$$

RN 471250-35-8 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[[1-[(2-phenylethyl)sulfonyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 471250-45-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-amino-N-[[1-[(2-phenylethyl)sulfonyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{H}_2\mathsf{N} & \mathsf{O} & \mathsf{O} \\ \mathsf{I} & \mathsf{S} - \mathsf{CH}_2 - \mathsf{CH}_2 - \mathsf{Ph} \\ \mathsf{N} & \mathsf{O} & \mathsf{I} \\ \mathsf{N} & \mathsf{O} & \mathsf{O} \\ \mathsf{N} & \mathsf{O} & \mathsf{O} \end{array}$$

RN 471250-46-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-amino-N-[[1-[[2-(4-methylphenyl)ethyl]sulfonyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 471252-05-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(4-amino-1,2-dihydro-2-oxo-5-pyrimidinyl)carbonyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{Ph-CH}_2-\text{O-C} & & & \\ & & & \\ & & & \\ \end{array}$$

IT 471254-11-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of N-substituted nonaryl heterocyclyl amides as NMDA/NR2B antagonists for relieving pain)

RN 471254-11-2 CAPLUS

CN Carbamic acid, [5-[[[[1-[(2-phenylethyl)sulfonyl]-4-piperidinyl]methyl]amino]carbonyl]-2-pyrimidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

6

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2002:657952 CAPLUS

DOCUMENT NUMBER:

137:185506

TITLE:

Preparation of 2,4-disubstituted pyrimidine-5-

carboxamides as KCNO potassium

channel modulators

INVENTOR(S):

Hewawasam, Piyasena; Dodd, Dharmpal S.; Weaver,

Charles D.; Dextraze, Pierre; Gribkoff, Valentin K.;

applicants prorry del

Kinney, Gene G., Dworetzky, Steven I.

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Company, USA PCT Int. Appl., 54 pp.

SOURCE:

PRIO: OTHE: GI CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

																	·	_	
PATENT NO.					ND	DATE			A.										
WO	WO 2002066036 A			A	1	2002	0829		WO 2002-US4305 20020214										
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,		
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,		
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	•	
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,		
		UA,	UG,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM	
	RW:	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,		
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,		
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
US 2002183335 A1 20021205								US 2002-75521 20020214											
ORIT	Y APP	LN.	INFO	. :	-			US 2001-269800P P 20010220											
ER S	OURCE	(S):			MAR	PAT.	137:	1855	06										

Ι

AB A method for treatment of disorders responsive to opening of KDNQ channels comprises administration of title compds. (I; R1 = H, halo, alkyl, Ph, phenylalkyl, heterocyclyl, heterocyclylmethyl, cyano, NR2, etc.; R2 = H, alkyl, cycloalkyl, Ph, phenylalkyl, heterocyclyl, NR2, SR, etc.; R3 = H,

```
halo, alkyl; R4 = H, Me, PhCH2; R5 = H, alkyl, cycloalkyl, Ph,
    phenylalkyl, heterocyclyl, heterocyclylmethyl; R = alkyl, alkynyl, Ph,
    phenylalkyl, heterocyclyl, heterocyclylmethyl). Thus,
     4-cyclohexyl-2-(morpholin-4-yl)pyrimidine-5-carboxylic acid (prepn. given)
     in CH2Cl2 was treated with polymer-supported 1-(3-dimethylaminopropyl)-3-
     ethylcarbodiimide 4-fluorobenzylamine followed by stirring for 16 h to
     give 4-cyclohexyl-2-(morpholin-4-yl)pyrimidine-5-carboxylic acid
     4-fluorophenylmethylamide. The latter at 20 .mu.M gave a >200% increase
     in KCNQ2 current in oocytes.
IT
     452096-56-9P 452096-58-1P 452096-60-5P
     452096-62-7P 452096-64-9P 452096-66-1P
     452096-68-3P 452096-70-7P 452096-72-9P
     452096-74-1P 452096-76-3P 452096-78-5P
     452096-80-9P 452096-82-1P 452096-84-3P
     452096-86-5P 452096-88-7P 452096-90-1P
     452096-91-2P 452096-92-3P 452096-93-4P
     452096-94-5P 452096-95-6P 452096-96-7P
     452096-97-8P 452096-98-9P 452096-99-0P
     452097-00-6P 452097-01-7P 452097-02-8P
     452097-03-9P 452097-04-0P 452097-05-1P
     452097-06-2P 452097-07-3P 452097-08-4P
     452097-09-5P 452097-10-8P 452097-11-9P
     452097-12-0P 452097-13-1P 452097-14-2P
     452097-15-3P 452097-16-4P 452097-17-5P
     452097-18-6P 452097-19-7P 452097-20-0P
     452097-21-1P 452097-22-2P 452097-23-3P
     452097-24-4P 452097-25-5P 452097-26-6P
     452097-27-7P 452097-28-8P 452097-29-9P
     452097-30-2P 452097-31-3P 452097-32-4P
     452097-33-5P 452097-34-6P 452097-36-8P
     452097-38-0P 452097-39-1P 452097-40-4P
     452097-41-5P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (prepn. of 2,4-disubstituted pyrimidine-5-carboxamides as KCNQ
        potassium channel modulators)
RN
     452096-56-9 CAPLUS
CN
     5-Pyrimidinecarboxamide, 4-cyclohexyl-N-[(4-fluorophenyl)methyl]-2-(4-
    morpholinyl)-, trifluoroacetate (9CI) (CA INDEX NAME)
     CM
          1
          452096-55-8
     CRN
     CMF
          C22 H27 F N4 O2
                  NH-CH2
```

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 452096-58-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-cyclohexyl-2-(4-morpholinyl)-N-(phenylmethyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-57-0 CMF C22 H28 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2 ,

CN

RN 452096-60-5 CAPLUS

5-Pyrimidinecarboxamide, 4-cyclohexyl-N-[(4-fluorophenyl)methyl]-2-(1-piperidinyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-59-2 CMF C23 H29 F N4 O

$$\begin{array}{c|c} F & & & \\ \hline \\ CH_2-NH-C & & N \\ \hline \\ N & N \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

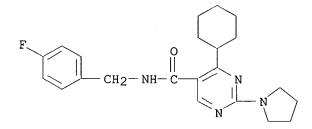
F-C-CO₂H F-F

RN 452096-62-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-cyclohexyl-N-[(4-fluorophenyl)methyl]-2-(1-pyrrolidinyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-61-6 CMF C22 H27 F N4 O



CM 2

CRN 76-05-1 CMF C2 H F3 O2

F-C-CO₂H

CN

RN 452096-64-9 CAPLUS

5-Pyrimidinecarboxamide, 4-cyclohexyl-N-(cyclohexylmethyl)-2-[ethyl(phenylmethyl)amino]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-63-8 CMF C27 H38 N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 452096-66-1 CAPLUS

5-Pyrimidinecarboxamide, 4-cyclohexyl-2-[ethyl(phenylmethyl)amino]-N-(phenylmethyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-65-0 CMF C27 H32 N4 O

.CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 452096-68-3 CAPLUS CN 5-Pyrimidinecarboxa

5-Pyrimidinecarboxamide, 4-cyclohexyl-2-[ethyl(phenylmethyl)amino]-N-[(4-fluorophenyl)methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-67-2 CMF C27 H31 F N4 O

$$\begin{array}{c|c} F & O & N \\ \hline \\ CH_2-NH-C & N \\ \hline \\ N & N-Et \\ \hline \\ CH_2-Ph \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 452096-70-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-cyclohexyl-N-(cyclohexylmethyl)-2-(2-methyl-1-piperidinyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-69-4 CMF C24 H38 N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 452096-72-9 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-4-propyl-2-(1-pyrrolidinyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-71-8 CMF C19 H23 F N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 452096-74-1 CAPLUS

5-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-2-(1-piperidinyl)-4-propyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-73-0 CMF C20 H25 F N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 452096-76-3 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-4-(1-methylethyl)-2-(1-pyrrolidinyl)-; trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-75-2 CMF C19 H23 F N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 452096-78-5 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-4-(1-methylethyl)-2-(1-piperidinyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-77-4 CMF C20 H25 F N4 O.

$$\begin{array}{c|c} F & O \\ \hline \\ CH_2-NH-C & N \\ \hline \\ i-Pr & N \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 452096-80-9 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-4-(1-methylethyl)-2-(4-morpholinyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM :

CRN 452096-79-6 CMF C19 H23 F N4 O2

$$\begin{array}{c|c} & & & \\ & & & \\ \hline \\ 0 & & & \\ \hline \\ 0 & & \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 452096-82-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[ethyl(phenylmethyl)amino]-4-(1-methylethyl)-N-(phenylmethyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-81-0 CMF C24 H28 N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

. CN

RN 452096-84-3 CAPLUS

5-Pyrimidinecarboxamide, 4-(2-fluorophenyl)-2-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-83-2 CMF C23 H20 F4 N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 452096-86-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(2-fluorophenyl)-2-(4-morpholinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-85-4 CMF C23 H20 F4 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 452096-88-7 CAPLUS

5-Pyrimidinecarboxamide, 4-(4-fluorophenyl)-2-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-87-6 CMF C23 H20 F4 N4 O

$$F_3C$$
 CH_2-NH-C
 N
 N

CM 2

CRN 7.6-05-1 CMF C2 H F3 O2

CN

RN 452096-90-1 CAPLUS

5-Pyrimidinecarboxamide, 4-(2-fluorophenyl)-2-(4-morpholinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-89-8

CMF C23 H20 F4 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 452096-91-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(1-pyrrolidinyl)-4-(trifluoromethyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452096-92-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(1-pyrrolidinyl)-4-(trifluoromethyl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452096-93-4 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[(4-chlorophenyl)methyl]-2-(1-pyrrolidinyl)-4-

1

(trifluoromethyl) - (9CI) (CA INDEX NAME)

RN 452096-94-5 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[(4-chlorophenyl)methyl]-2-(4-morpholinyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 452096-95-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(hexahydro-1H-azepin-1-yl)-N-[(4-methylphenyl)methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 452096-96-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(1-pyrrolidinyl)-N-[[4-(trifluoromethoxy)phenyl]methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 452096-97-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(4-morpholinyl)-N-[[4-(trifluoromethoxy)phenyl]methyl]-4-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN 452096-98-9 CAPLUS

CN

5-Pyrimidinecarboxamide, 2-(1-piperidinyl)-N-[[4-(trifluoromethoxy)phenyl]methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 452096-99-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(diethylamino)-N-[[4-(trifluoromethoxy)phenyl]methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 452097-00-6 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[(4-bromophenyl)methyl]-2-(1-pyrrolidinyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 452097-01-7 CAPLUS

ON 5-Pyrimidinecarboxamide, N-[[4-(dimethylamino)phenyl]methyl]-2-(1-pyrrolidinyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 452097-02-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(4-morpholinyl)-4-(trifluoromethyl)-N-[[4-[(trifluoromethyl)thio]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-03-9 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-amino-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-04-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(1-ethylpropyl)amino]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-05-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[ethyl(2-hydroxyethyl)amino]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et} & \\ \text{HO-CH}_2\text{-CH}_2\text{-N} & \text{N} & \text{O} \\ \text{N} & \text{C-NH-CH}_2 & \\ \text{CF}_3 & \\ \end{array}$$

RN 452097-06-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(methylpentylamino)-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

Me- (CH₂)
$$_4$$
 - N O O C- NH- CH₂ CF₃

RN 452097-07-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 2,4-di-1-pyrrolidinyl-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-08-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(cyclopentylamino)-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-09-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(phenylmethyl)amino]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-10-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[methyl(phenylmethyl)amino]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-11-9 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(4-chlorophenyl)methylamino]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-12-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(methyl-2-propynylamino)-4-(1-pyrrolidinyl)-N- [[4-(trifluoromethyl)phenyl]methyl]-(9CI) (CA INDEX NAME)

$$HC = C - CH_2 - N \qquad O \qquad O \qquad C - NH - CH_2$$

$$CF_3$$

RN 452097-13-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(diethylamino)-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-14-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[methyl[4-(trifluoromethoxy)phenyl]amino]-4-(1-

pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

$$_{\text{CH}_2-\text{NH-C}}$$

RN 452097-15-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[methyl(4-nitrophenyl)amino]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-16-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(2-propynylamino)-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

$$HC = C - CH_2 - NH$$

$$N$$

$$C - NH - CH_2$$

$$CF_3$$

RN 452097-17-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(3-chlorophenyl)methylamino]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-18-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(3,4-dichlorophenyl)methylamino]-4-(1-

pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]-(9CI) (CA INDEX NAME)

RN 452097-19-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(4-fluorophenoxy)-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-20-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(2-methoxyphenoxy)-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-21-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(2-propynyloxy)-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

$$HC \equiv C - CH_2 - O$$
 N
 $C - NH - CH_2$
 CF_3

RN 452097-22-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(1-pyrrolidinyl)-2-(2-thienylmethoxy)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-23-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[2-(4-fluorophenyl)ethoxy]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-24-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(3,4-dimethoxyphenyl)-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-25-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(2-methoxyphenyl)-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-26-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(1-pyrrolidinyl)-2-(3-thienyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

- RN 452097-27-7 CAPLUS
- CN 5-Pyrimidinecarboxamide, 4-(1-pyrrolidinyl)-2-(2-thienyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

- RN 452097-28-8 CAPLUS
- CN 5-Pyrimidinecarboxamide, 2-[[(4-chlorophenyl)methyl]thio]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

- RN 452097-29-9 CAPLUS
- CN 5-Pyrimidinecarboxamide, 2-[(2-furanylmethyl)thio]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

- RN 452097-30-2 CAPLUS
- CN 5-Pyrimidinecarboxamide, 2-[(4-nitrophenyl)thio]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-31-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[[(3-methylphenyl)methyl]thio]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-32-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(2-chlorophenyl)thio]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-33-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(cyclopropylthio)-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-34-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(1-pyrrolidinyl)-2-(2-thienylthio)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-36-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(2-methylpropyl)thio]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-38-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[(phenylmethyl)thio]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-39-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(diethylamino)-N-[(5-methyl-2-furanyl)methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Me
$$CH_2-NH-C$$
 N NEt_2

RN 452097-40-4 CAPLUS

CN 5-Pyrimidinecarboxamide, N-(2-furanylmethyl)-2-(1-pyrrolidinyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 452097-41-5 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[(5-methyl-2-furanyl)methyl]-2-(4-morpholinyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \begin{array}{c|c} & \\ & \\ \end{array} \\ \hline \\ F_3C \end{array} \\ \begin{array}{c|c} & \\ N \end{array} \\ \end{array} \\ \begin{array}{c|c} & \\ N \end{array} \\ \end{array}$$

IT 452097-47-1P 452097-48-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 2,4-disubstituted pyrimidine-5-carboxamides as KCNQ potassium channel modulators)

RN 452097-47-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 2,4-dichloro-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-48-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-chloro-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2002:220565 CAPLUS

9

DOCUMENT NUMBER:

136:247604

TITLE:

Preparation of pyrimidine and pyridine derivatives as N-type calcium channel inhibitors and analgesics

Searched by Barb O'Bryen, STIC 308-4291

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INVENTOR(S):
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Ohno, Seiji; Otani, Kayo; Niwa, Seiji; Iwayama, Satoshi; Takahara, Akira; Koganei, Hajime; Ono,

Yukitsugu; Fujita, Shinichi; Takeda, Tomoko; Hagihara,

Maşako: Okajima, Akiko

PATENT ASSIGNEE(S):

Ajinomoto Co. Inc., Japan SOURCE: PCT Int. Appl., 207 pp. CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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                      KIND
                            DATE
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                                                             DATE
     WO 2002,022588
                       A1
                            20020321
                                            WO 2001-JP7841
                                                             20010910
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,
            (US,)UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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                            20020326
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                                                             20010910
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                                         JP 2000-280438
                                                             20000914
PRIORITY APPLN. INFO.:
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                                         JP 2001-126832
                                                             20010425
                                                          À
                                         WO 2001-JP7841
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OTHER SOURCE(S):

MARPAT 136:247604

GΙ

$$\begin{array}{c|c}
 & A & O \\
 & N & X1-Y-F \\
 & C & N & E & I
\end{array}$$

AΒ The title compds., e.g. I [A = 1-naphthyl, pyridin-3-yl, etc.; C = alkyl,etc.; E = alkyl, etc.; F = thiophen-3-yl, etc.; X1 = NR14, etc.; R14 = H, etc.; Y = (un)satd. hydrocarbon moiety, etc.], are prepd. I are useful as therapeutic agents for pain and for various diseases in which N-type calcium channels participate. In rats dosed orally with $4\overline{-(2,4-dimethylphenyl)-6-methyl-2-(methylthio)-N-(3-phenylpropyl)-5$ pyrimidinecarboxamide at 3 mg/kg, the flinching behavior frequency was 39.+-.11 times/60 min vs. 116.+-.8 times/60 min in controls.

IT 404568-26-9P 404568-29-2P 404568-30-5P 404569-12-6P

> RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of pyrimidine and pyridine derivs. as N-type calcium channel inhibitors and analgesics)

RN 404568-26-9 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-6-methyl-2-(methylthio)-N-(3-phenylpropyl) - (9CI) (CA INDEX NAME)

RN 404568-29-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-6-methyl-2-(methylthio)-N-(3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

RN 404568-30-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-6-methyl-2-(methylthio)-N-(3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

RN 404569-12-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(2,4-dimethylphenyl)-6-methyl-2-(methylthio)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

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IT
     404568-20-3P 404568-21-4P 404568-22-5P
     404568-23-6P 404568-24-7P 404568-25-8P
     404568-27-0P 404568-28-1P 404568-31-6P
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     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (prepn. of pyrimidine and pyridine derivs. as N-type calcium channel
        inhibitors and analgesics)
RN
     404568-20-3 CAPLUS
     5-Pyrimidinecarboxamide, 4-(3-chlorophenyl)-6-methyl-2-(methylthio)-N-(3-
CN
     phenyl-2-propenyl)- (9CI) (CA INDEX NAME)
```

RN 404568-21-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-chlorophenyl)-N-(3,3-diphenylpropyl)-6-

methyl-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 404568-22-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-chlorophenyl)-6-methyl-N-(3-phenyl-2-propenyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-23-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-chlorophenyl)-6-methyl-2-phenyl-N-(3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

RN 404568-24-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-chlorophenyl)-N-(3,3-diphenylpropyl)-6-methyl-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-25-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-N-(3,3-diphenylpropyl)-6-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 404568-27-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-N-(3,3-diphenylpropyl)-6-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 404568-28-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-6-methyl-2-(methylthio)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-31-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-2,6-dimethyl-N-(3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

RN 404568-32-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-chlorophenyl)-6-methyl-2-phenyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

Me
$$C1$$
 $C-NH-(CH_2)_3-Ph$
 O

RN 404568-33-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-chlorophenyl)-6-methyl-N-(3-phenylpropyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

Me
$$C1$$
 $C-NH-(CH_2)_3-Ph$
 0

RN 404568-34-9 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-chlorophenyl)-2,6-dimethyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-35-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-chlorophenyl)-6-methyl-2-(methylthio)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-36-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-chlorophenyl)-2,6-dimethyl-N-(3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

RN 404568-37-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-2,6-dimethyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-38-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-6-methyl-N-(3-phenylpropyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-39-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-6-methyl-2-phenyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-40-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-6-methyl-N-(3-phenylpropyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-41-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-2,6-dimethyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-42-9 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-6-methyl-2-phenyl-N-(4-phenylbutyl)- (9CI) (CA INDEX NAME)

RN 404568-43-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-6-methyl-N-(4-phenylbutyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-44-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-2,6-dimethyl-N-(4-phenylbutyl)- (9CI) (CA INDEX NAME)

RN 404568-45-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-6-methyl-2-(methylthio)-N-(4-phenylbutyl)- (9CI) (CA INDEX NAME)

RN 404568-46-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-6-methyl-2-phenyl-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 404568-47-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-6-methyl-N-(2-phenylethyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-48-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-2,6-dimethyl-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 404568-49-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-6-methyl-2-(methylthio)-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 404568-50-9 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-N-(3,3-diphenylpropyl)-2,6-dimethyl- (9CI) (CA INDEX NAME)

Me C1 C1

Me
$$C1$$
 $C1$
 $C1$
 $C1$
 $C1$
 $C-NH-CH_2-CH_2-CHPh_2$
 $C-NH-CH_2-CH_2-CHPh_2$

RN 404568-51-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-N-(3,3-diphenylpropyl)-2,6-dimethyl- (9CI) (CA INDEX NAME)

RN 404568-52-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-6-methyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-53-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-2,6-dimethyl-N-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 404568-54-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-6-methyl-2-(methylthio)-N-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 404568-55-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-methoxyphenyl)-6-methyl-2-phenyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-56-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-methoxyphenyl)-6-methyl-N-(3-phenylpropyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-57-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-methyl-N-(3-phenylpropyl)-2,6-di-3-pyridinyl-(9CI) (CA INDEX NAME)

RN 404568-58-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 2,4-dimethyl-N-(3-phenylpropyl)-6-(3-pyridinyl)-(9CI) (CA INDEX NAME)

RN 404568-59-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-6-methyl-2-(methylsulfonyl)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-60-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-methyl-2-phenyl-N-(3-phenylpropyl)-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-61-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-methyl-2-(methylthio)-N-(3-phenylpropyl)-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-62-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-amino-4-(3,4-dichlorophenyl)-6-methyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-63-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-methoxyphenyl)-6-methyl-2-(methylthio)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-64-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-methyl-6-(3-nitrophenyl)-2-phenyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-65-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-methyl-6-(3-nitrophenyl)-N-(3-phenylpropyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

Jones

RN 404568-66-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 2,4-dimethyl-6-(3-nitrophenyl)-N-(3-phenylpropyl)(9CI) (CA INDEX NAME)

RN 404568-67-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-methyl-2-(methylthio)-6-(3-nitrophenyl)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-68-9 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-methyl-6-(3-methylphenyl)-2-phenyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-69-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-methyl-6-(3-methylphenyl)-N-(3-phenylpropyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-70-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 2,4-dimethyl-6-(3-methylphenyl)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-71-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-methyl-6-(3-methylphenyl)-2-(methylthio)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-72-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-fluorophenyl)-6-methyl-2-phenyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

Me
$$F$$
 $C-NH-(CH_2)_3-Ph$
 O

RN 404568-73-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-fluorophenyl)-6-methyl-N-(3-phenylpropyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-74-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-fluorophenyl)-2,6-dimethyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-75-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-fluorophenyl)-6-methyl-2-(methylthio)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-76-9 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-methoxyphenyl)-6-methyl-2-(methylthio)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-77-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-methoxyphenyl)-6-methyl-2-phenyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-78-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-methoxyphenyl)-6-methyl-N-(3-phenylpropyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-79-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-methoxyphenyl)-2,6-dimethyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-80-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-2-(1,1-dimethylethyl)-6-methyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-81-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-cyclopropyl-4-(3,5-dichlorophenyl)-6-methyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-82-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-6-methyl-2-(4-morpholinyl)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-83-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-6-methyl-N-(3-phenylpropyl)-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-84-9 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-6-methyl-N-(3-phenylpropyl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-85-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-methyl-2-(methylthio)-6-(2-naphthalenyl)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-86-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-methyl-6-(4-methylphenyl)-2-phenyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-87-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-methyl-6-(4-methylphenyl)-2-(methylthio)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-88-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-6-methyl-N-(3-phenylpropyl)-2-(1H-pyrazol-1-yl)- (9CI) (CA INDEX NAME)

RN 404568-89-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-6-methyl-2-(methylamino)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-90-7 CAPLUS

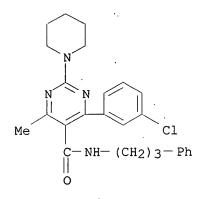
CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-2-(dimethylamino)-6-methyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-91-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-2-(3,5-dimethyl-1H-pyrazol-1-yl)-6-methyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-92-9 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-chlorophenyl)-6-methyl-N-(3-phenylpropyl)-2-(1-piperidinyl)- (9CI) (CA INDEX NAME)



RN 404568-93-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-6-methyl-N-(3-phenylpropyl)-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 404568-94-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 2,4-dimethyl-6-[4-(1-methylethyl)phenyl]-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-95-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-methyl-6-[4-(1-methylethyl)phenyl]-2-(methylthio)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-96-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-[4-(1,1-dimethylethyl)phenyl]-2,6-dimethyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-97-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-[4-(1,1-dimethylethyl)phenyl]-6-methyl-2-(methylthio)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404568-98-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-chlorophenyl)-6-methyl-2-[(2-methylpropyl)amino]-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

Me Cl
C-NH-(CH2)3-Ph

RN 404568-99-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-chlorophenyl)-2-methoxy-6-methyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

Me C1

C-NH-(CH2)3-Ph

RN 404569-00-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 2,4-dimethyl-6-(2-naphthalenyl)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

Me N N N Me C-NH-(CH₂)₃-Ph

RN 404569-01-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 2,4-dimethyl-6-(1-naphthalenyl)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-02-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-methyl-2-(methylthio)-6-(1-naphthalenyl)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-03-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dimethylphenyl)-2,6-dimethyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-04-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dimethylphenyl)-6-methyl-2-(methylthio)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-05-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-chlorophenyl)-6-methyl-N-(3-phenylpropyl)-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

Me
$$C1$$
 $C-NH-(CH_2)_3-Ph$

RN 404569-06-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-6-methyl-N-(3-phenylpropyl)-2-(2-thienyl)- (9CI) (CA INDEX NAME)

RN 404569-07-9 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-chlorophenyl)-6-methyl-N-(3-phenylpropyl)-2-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)

RN 404569-08-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-2-methoxy-6-methyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-09-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-chloro-4-methoxyphenyl)-2-cyclopropyl-6-methyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-10-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-chloro-4-methoxyphenyl)-6-methyl-2-(methylthio)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-11-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-cyclopropyl-4-(2,4-dimethylphenyl)-6-methyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-13-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(2-chlorophenyl)-6-methyl-2-(methylthio)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-14-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichloro-4-methoxyphenyl)-6-methyl-2-(methylthio)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-15-9 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-6-methyl-2-phenoxy-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-16-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-methyl-6-(2-methylphenyl)-2-(methylthio)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-17-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-methoxy-3-methylphenyl)-6-methyl-2-(methylthio)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-18-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-6-methyl-2-(1-methylethyl)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-19-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-6-methyl-2-(2-methyl-4-thiazolyl)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

Jones

RN 404569-20-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-6-methyl-2-(phenoxymethyl)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-21-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-2-ethoxy-6-methyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-22-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-2-ethyl-6-methyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

Me
$$C1$$
 $C-NH-(CH_2)_3-Ph$

RN 404569-23-9 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-6-methyl-N-(3-phenylpropyl)-2-propyl- (9CI) (CA INDEX NAME)

Me
$$C1$$
 $C-NH-(CH_2)3-Ph$

RN 404569-24-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-butyl-4-(3,5-dichlorophenyl)-6-methyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-25-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(2,4-dimethylphenyl)-6-methyl-2-(methylsulfinyl)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-26-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(2,4-dimethylphenyl)-6-methyl-N-(3-phenylpropyl)-2-propyl- (9CI) (CA INDEX NAME)

RN 404569-27-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-6-ethyl-2-(methylthio)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-28-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(2,4-dichlorophenyl)-6-methyl-2-(methylthio)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-29-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(2,6-dichlorophenyl)-6-methyl-2-(methylthio)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-30-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(2,4-dimethylphenyl)-6-methyl-2-(methylthio)-N-(3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

RN 404569-31-9 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(2,4-dichlorophenyl)-6-methyl-N-(3-phenylpropyl)-2-propyl- (9CI) (CA INDEX NAME)

RN 404569-32-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(2,5-dimethylphenyl)-6-methyl-2-(methylthio)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-33-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(2,5-dimethylphenyl)-6-methyl-N-(3-phenylpropyl)-2-propyl- (9CI) (CA INDEX NAME)

RN 404569-34-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-chlorophenyl)-6-methyl-2-(methylthio)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-35-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-chlorophenyl)-6-methyl-N-(3-phenylpropyl)-2-propyl- (9CI) (CA INDEX NAME)

RN 404569-36-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(2,6-dimethylphenyl)-6-methyl-2-(methylthio)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 404569-37-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(2,6-dimethylphenyl)-6-methyl-N-(3-phenylpropyl)-2-propyl- (9CI) (CA INDEX NAME)

IT 404570-13-4

RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. of pyrimidine and pyridine derivs. as N-type calcium channel
 inhibitors and analgesics)

RN 404570-13-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-chlorophenyl)-6-methyl-2-(methylsulfonyl)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

IT 404569-74-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of pyrimidine and pyridine derivs. as N-type calcium channel inhibitors and analgesics)

RN 404569-74-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-6-methyl-2-(methylsulfonyl)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 7 OF 18 USPATFULL

ACCESSION NUMBER:

2003:79133 USPATFULL

TITLE:

Imidazo triazine derivatives as ligands for GABA

receptors

INVENTOR(S):

Carling, William Robert, Bishops Stortford, UNITED

KINGDOM

Hallett, David James, Watford, UNITED KINGDOM

Russell, Michael Geoffrey Neil, Welwyn Garden City,

UNITED KINGDOM

Street, Leslie Joseph, Little Hallingbury, UNITED

DATE

070650907

KINGDOM

		NUMBER	KIND	DATE	
PATENT INFORMATION:	US	2003055060	A1	20030320	
APPLICATION INFO.:	US	2002-195274	A1	20020715	(10)

NUMBER

PRIORITY INFORMATION:

GB 2001-17277 20010716

DOCUMENT TYPE: FILE SEGMENT:

Utility

FILE SEGMENT:

APPLICATION
MERCK AND CO INC, P O BOX 2000, RAHWAY,

LEGAL REPRESENTATIVE: NUMBER OF CLAIMS:

10

EXEMPLARY CLAIM: LINE COUNT:

1172

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A class of 7-phenylimidazo[1,2-b][1,2,4]triazine derivatives,

substituted at the meta position of the phenyl ring by a

(cyano) (fluoro) phenyl moiety, being selective ligands for GABA.sub.A receptors, in particular having good affinity for the .alpha.2 and/or .alpha.3 subunit thereof, are accordingly of benefit in the treatment and/or prevention of adverse conditions of the central nervous system,

including anxiety and convulsions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 425379-62-0P 425379-63-1P

(prepn. of imidazo-triazines as ligands for GABA receptors)

RN 425379-62-0 USPATFULL

CN Boronic acid, [3-[5-(aminocarbonyl)-4-pyrimidinyl]-4-fluorophenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} HO & H_2N-C \\ \hline HO-B & \\ \hline \end{array}$$

RN 425379-63-1 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[2-fluoro-5-[3-(1-hydroxy-1-methylethyl)imidazo[1,2-b][1,2,4]triazin-7-yl]phenyl]- (9CI) (CA INDEX NAME)

L41 ANSWER 8 OF 18 USPATFULL

ACCESSION NUMBER: 2003:45335 USPATFULL

TITLE: Aromatic nitrogen-containing 6-membered cyclic

compounds

INVENTOR(S): Yamada, Koichiro, Saitama-ken, JAPAN

Matsuki, Kenji, Saitama-ken, JAPAN Omori, Kenji, Saitama-shi, JAPAN Kikkawa, Kohei, Kawaguchi-shi, JAPAN

NUMBER KIND DATE
US 2003032647 A1 20030213
US 2001-925892 A1 20010810 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. WO 2000-JP6258, filed on 13

Sep 2000, UNKNOWN

PRIORITY INFORMATION: JP 1999-261852 19990916
JP 2000-130371 20000428

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Finnegan, Henderson, Farabow,, Garrett & Dunner,

L.L.P., 1300 I Street, N.W., Washington, DC, 20005-3315

NUMBER OF CLAIMS: 23 EXEMPLARY CLAIM: 1

PATENT INFORMATION:

APPLICATION INFO.:

LINE COUNT: 2279

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB An aromatic nitrogen-containing 6-membered cyclic compound of the

formula (I): ##STR1##

wherein Ring A is a substituted or unsubstituted nitrogen-containing heterocyclic group; R.sup.1 is a substituted or unsubstituted lower

alkyl group, --NH--Q--R.sup.3 (R.sup.3 is a substituted or unsubstituted nitrogen containing heterocyclic group, and Q is a lower alkylene group or a single bond), or --NH--R.sup.4 (R.sup.4 is a substituted or unsubstituted cycloalkyl group); R.sup.2 is a substituted or unsubstituted aryl group; one of Y and Z is .dbd.CH--, and the other is .dbd.N--, or a pharmaceutically acceptable salt thereof, these compounds exhibiting excellent selective PDE V inhibitory activities, and hence, being useful in the prophylaxis or treatment of penile erectile dysfunction. etc.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 330786-26-0P 330786-28-2P 330786-37-3P

(prepn. and effect of heteroarom. compds. as PDE V activity inhibitors)

RN 330786-26-0 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[2-(hydroxymethyl)-1-pyrrolidinyl]-4-(phenylthio)-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

b

RN 330786-28-2 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chlorophenyl)methyl]amino]-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330786-37-3 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(methylthio)-N-5-pyrimidinyl- (9CI) (CA INDEX NAME)

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MeO
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CN

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330784-22-0P 330784-25-3P 330784-26-4P
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      330784-33-3P 330784-34-4P 330784-35-5P
      330784-36-6P 330784-37-7P 330784-38-8P
      330784-39-9P 330784-40-2P 330784-41-3P
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      330785-67-6P 330785-68-7P 330785-69-8P
      330785-70-1P 330785-71-2P 330785-72-3P
      330785-73-4P 330785-75-6P 330785-76-7P
      330785-77-8P 330785-79-0P
        (prepn. and effect of heteroarom. compds. as PDE V activity inhibitors)
RN
```

330784-22-0 USPATFULL

5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330784-25-3 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-N-[(4-methyl-2-morpholinyl)methyl]- (9CI) (CA INDEX NAME)

RN 330784-26-4 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-N-(2-pyrimidinylmethyl)-(9CI) (CA INDEX NAME)

RN 330784-27-5 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-N-(trans-4hydroxycyclohexyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 330784-28-6 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(5,8-dihydro-1,7-naphthyridin-7(6H)-yl)-N-[2-(4-morpholinyl)ethyl]-(9CI) (CA INDEX NAME)

RN 330784-29-7 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-N-(trans-4methoxycyclohexyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 330784-30-0 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-cyano-4-methoxyphenyl)methyl]amino]-2-(5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-yl)-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

RN 330784-31-1 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-cyano-4-methoxyphenyl)methyl]amino]-2-(5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-yl)-N-(trans-4-hydroxycyclohexyl)-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 330784-32-2 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-cyano-4-methoxyphenyl)methyl]amino]-2-(5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-yl)-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 330784-33-3 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-N-[2-(4-morpholinyl)ethyl]-(9CI) (CA INDEX NAME)

RN 330784-34-4 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(2-pyridinylmethyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 330784-35-5 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(5-pyrimidinylmethyl)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 330784-36-6 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[2-(4-morpholinyl)ethyl](9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330784-37-7 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(trans-4-hydroxycyclohexyl)-2-(4-methyl-3-oxo-1-piperazinyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 330784-38-8 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(4-pyridazinylmethyl)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 330784-39-9 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(4-pyrimidinylmethyl)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 330784-40-2 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[(4-methyl-2morpholinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330784-41-3 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(4formyl-1-piperazinyl)-N-(trans-4-hydroxycyclohexyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 330784-42-4 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[(2S,5R)-2,5-bis(hydroxymethyl)-1-pyrrolidinyl]-4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(2-pyrimidinylmethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330784-47-9 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(2-pyrimidinylmethyl)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 330784-48-0 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(2-pyrimidinylmethyl)-,
dibenzenesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 330784-47-9 CMF C23 H26 C1 N7 O3

Absolute stereochemistry.

CM 2

CRN 98-11-3 CMF C6 H6 O3 S

RN 330784-49-1 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[[(3-chloro-4-methoxyphenyl)methyl][(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]amino]-N-(1,3,5-trimethyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330784-50-4 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-4-[[(4-methoxyphenyl)methyl]amino]-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330784-52-6 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(3,4-dihydro-2(1H)-isoquinolinyl)-N-(2-pyridinylmethyl)-(9CI) (CA INDEX NAME)

RN 330784-53-7 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(5,8-dihydro-1,7-naphthyridin-7(6H)-yl)-N-(2-pyrimidinylmethyl)-(9CI)(CA INDEX NAME)

RN 330784-54-8 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-yl)-N-(2-pyrimidinylmethyl)-(9CI) (CA INDEX NAME)

RN 330784-55-9 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(5,8-dihydro-1,7-naphthyridin-7(6H)-yl)-N-[(4-methyl-2-morpholinyl)methyl]- (9CI) (CA INDEX NAME)

RN 330784-56-0 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 330784-57-1 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330784-58-2 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(trans-4-methoxycyclohexyl)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330784-59-3 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-yl)-N-[2-(4-morpholinyl)ethyl]-(9CI) (CA INDEX NAME)

RN 330784-60-6 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-yl)-N-[(4-methyl-2-morpholinyl)methyl]- (9CI) (CA INDEX NAME)

330784-61-7 USPATFULL

RN

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N- (trans-4-hydroxycyclohexyl)-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330784-62-8 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330784-63-9 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(1,2,3,4,6,7-hexahydro-3-oxo-5H-pyrazolo[4,3-c]pyridin-5-yl)-N-(trans-4-hydroxycyclohexyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 330784-64-0 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[3-(1H-imidazol-1-yl)propyl](9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330784-65-1 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-[[4-(dimethylamino)-2-pyrimidinyl]methyl]-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330784-67-3 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[{(3-chloro-4-methoxyphenyl)methyl]amino]-2-[4-(hydroxymethyl)-1H-imidazol-1-yl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

10/075521

RN 330784-68-4 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-N-(trans-4-hydroxycyclohexyl)-4-[[(4-methoxy-3-nitrophenyl)methyl]amino]-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 330784-69-5 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-4[[(4-methoxy-3-nitrophenyl)methyl]amino]-N-[2-(4-morpholinyl)ethyl](9CI) (CA INDEX NAME)

RN 330784-70-8 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[2-(3-oxo-1-piperazinyl)ethyl](9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330784-71-9 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[2-(4-methyl-3-oxo-1piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330784-72-0 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(1-piperidinyl)-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 330784-73-1 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(4-methyl-3-oxo-1-piperazinyl)-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 330784-74-2 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[3,4-dihydro-3-(hydroxymethyl)-2(1H)-isoquinolinyl]-N-(2pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 330784-75-3 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[(2R,5S)-2,5-bis(hydroxymethyl)-1-pyrrolidinyl]-4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(trans-4hydroxycyclohexyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 330784-76-4 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-(2-amino-6,7-dihydrothiazolo[5,4-c]pyridin-5(4H)-yl)-4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(2-pyridinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 330784-77-5 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(1,2,3,4,6,7-hexahydro-3-oxo-5H-pyrazolo[4,3-c]pyridin-5-yl)-N-[2-(4-morpholinyl)ethyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{OMe} \\ & \text{CH}_2 \\ & \text{NH} \\ & \text{O} \\ & \text{N} $

RN 330784-78-6 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(trans-4-hydroxycyclohexyl)-2-[2-(hydroxymethyl)-1H-pyrrol-1-yl]-(9CI)(CA INDEX NAME)

Relative stereochemistry.

RN 330784-79-7 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(4-formyl-1-piperazinyl)-N-(2-pyridinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 330784-81-1 USPATFULL

CN 5-Pyrimidinecarboxamide, N-(trans-4-hydroxycyclohexyl)-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-4-[[(4-methoxy-3-nitrophenyl)methyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330784-82-2 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(4-

methyl-3-oxo-1-piperazinyl)-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

RN 330784-83-3 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(7,8-dihydropyrido[4,3-d]pyrimidin-6(5H)-yl)-N-(trans-4hydroxycyclohexyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 330784-84-4 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(1,2,3,4,6,7-hexahydro-3-oxo-5H-pyrazolo[4,3-c]pyridin-5-yl)-N-(2pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

J

RN 330784-85-5 USPATFULL

CN 3H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 3-[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[[(2-pyridinylmethyl)amino]carbonyl]-2-pyrimidinyl]-4,5,6,7-tetrahydro-, methyl ester (9CI) (CA INDEX NAME)

RN 330784-86-6 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(trans-4-hydroxycyclohexyl)-2-(3-oxo-1-piperazinyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 330784-87-7 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[(2R,5R)-2,5-bis(hydroxymethyl)-1-pyrrolidinyl]-4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(trans-4-hydroxycyclohexyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 330784-88-8 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(4-morpholinyl)-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 330784-89-9 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-cyano-4-methoxyphenyl)methyl]amino]-2-[3,4-dihydro-3-(hydroxymethyl)-2(1H)-isoquinolinyl]-N-(trans-4-hydroxycyclohexyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

RN 330784-90-2 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(trans-4-hydroxycyclohexyl)-2-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 330784-91-3 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(trans-4-hydroxycyclohexyl)-2-[(2S)-2-(methoxymethyl)-1-pyrrolidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

RN 330784-92-4 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(trans-4-hydroxycyclohexyl)-2-[2-(hydroxymethyl)-4-morpholinyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 330784-93-5 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-cyano-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(methoxymethyl)-1-pyrrolidinyl]-N-(2-pyridinylmethyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 330784-94-6 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-[3-(hydroxymethyl)-1-piperidinyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 330784-95-7 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-cyano-4-methoxyphenyl)methyl]amino]-N-(trans-4-hydroxycyclohexyl)-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 330784-96-8 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(trans-4-hydroxycyclohexyl)-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 330784-97-9 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-[4-formyl-3-(hydroxymethyl)-1-piperazinyl]-N-(trans-4-hydroxycyclohexyl)(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 330784-98-0 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(trans-4-hydroxycyclohexyl)-2-[(2R,4S)-4-hydroxy-2-(hydroxymethyl)-1-pyrrolidinyl]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

RN 330784-99-1 USPATFULL

CN 1H-Imidazo[4,5-c]pyridine-6-carboxylic acid, 1-[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[[(2-pyridinylmethyl)amino]carbonyl]-2-pyrimidinyl]-4,5,6,7-tetrahydro-, methyl ester (9CI) (CA INDEX NAME)

RN 330785-00-7 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-[2-(hydroxymethyl)-1-piperidinyl]-N-(2-pyridinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 330785-01-8 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(4-hydroxy-1-piperidinyl)-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 330785-02-9 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-[3-(hydroxymethyl)-1-piperidinyl]-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

RN 330785-03-0 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-4-[[(4-methoxy-3-nitrophenyl)methyl]amino]-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

RN 330785-04-1 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(1,3-dihydro-2H-isoindol-2-yl)-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 330785-05-2 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-hydroxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(2-pyrimidinylmethyl)- (9CI)
(CA INDEX NAME)

10/075521

RN 330785-06-3 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3,4-dichlorophenyl)methyl]amino]-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-07-4 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-fluoro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(2-pyrimidinylmethyl)- (9CI)
(CA INDEX NAME)

RN 330785-13-2 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(trans-4-hydroxycyclohexyl)-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 330785-14-3 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(5,7-dihydro-6H-pyrrolo[3,4-b]pyridin-6-yl)-N-(trans-4hydroxycyclohexyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 330785-15-4 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-[3-(hydroxymethyl)-1-pyrrolidinyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

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330785-16-5 USPATFULL

RN

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-[3-(hydroxymethyl)-1-pyrrolidinyl]-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

RN 330785-17-6 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2R)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(2-pyrimidinylmethyl)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 330785-18-7 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(1-ethyl-1H-pyrazol-5-yl)-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-19-8 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-pyrazinyl- (9CI) (CA INDEX NAME)

10/075521

RN 330785-20-1 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-21-2 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-2-pyridinyl- (9CI) (CA INDEX NAME)

RN 330785-22-3 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[(5-methyl-3isoxazolyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-23-4 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N[(4,6-dimethyl-2-pyrimidinyl)methyl]-2-[(2S)-2-(hydroxymethyl)-1pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 330785-24-5 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-2-thiazolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-25-6 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[(5-methylpyrazinyl)methyl](9CI) (CA INDEX NAME)

RN 330785-26-7 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(6-methoxy-2-benzothiazolyl)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-27-8 USPATFULL

CN

5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(5-methyl-3-isoxazolyl)- (9CI)
(CA INDEX NAME)

RN 330785-28-9 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[1-(methoxyacetyl)-4piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-29-0 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N[(3,5-dimethyl-4-isoxazolyl)methyl]-2-[(2S)-2-(hydroxymethyl)-1pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 330785-30-3 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(2-quinolinylmethyl)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 330785-31-4 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[(6-methyl-2-pyridinyl)methyl](9CI) (CA INDEX NAME)

RN 330785-32-5 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[(2-methyl-3-pyridinyl)methyl](9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-33-6 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[(2-methyl-4-thiazolyl)methyl](9CI) (CA INDEX NAME)

RN 330785-34-7 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-1,3,4-thiadiazol-2-yl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 330785-35-8 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(3-pyridazinylmethyl)- (9CI)
(CA INDEX NAME)

RN 330785-36-9 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[(4-methoxy-2pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-37-0 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[trans-4-(2pyrimidinyloxy)cyclohexyl]- (9CI) (CA INDEX NAME)

RN 330785-38-1 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(4-methyl-2-thiazolyl)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 330785-39-2 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N[(1,4-dihydro-6-methyl-4-oxo-2-pyrimidinyl)methyl]-2-[(2S)-2(hydroxymethyl)-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 330785-40-5 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-[1-(cyanomethyl)-4-piperidinyl]-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-41-6 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N[(2,5-dihydro-5-oxo-1H-pyrazol-3-yl)methyl]-2-[(2S)-2-(hydroxymethyl)-1pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 330785-42-7 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[(1-methyl-1H-pyrazol-4yl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-43-8 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(5-methyl-1H-pyrazol-3-yl)(9CI) (CA INDEX NAME)

10/075521

330785-44-9 USPATFULL RN

5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-CN [(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[(5-methyl-2-pyridinyl)methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-45-0 · USPATFULL

5-Pyrimidinecarboxamide, N-[(4-acetyl-2-pyrimidinyl)methyl]-4-[[(3-chloro-CN 4-methoxyphenyl)methyl]amino]-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-(9CI) (CA INDEX NAME)

RN 330785-46-1 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-[[4-(hydroxymethyl)-2-pyrimidinyl]methyl]-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-47-2 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(6-amino-3-pyridinyl)methyl]-4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-(9CI) (CA INDEX NAME)

RN 330785-48-3 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-[[6-(hydroxymethyl)-2-pyridinyl]methyl]-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-49-4 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(1-methyl-1H-pyrazol-4-yl)(9CI) (CA INDEX NAME)

RN 330785-50-7 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-1H-pyrazol-4-yl- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 330785-51-8 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-formyl-1-pyrrolidinyl]-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

RN 330785-52-9 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(7-methoxy-3-quinolinyl)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-53-0 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(3,5-dimethyl-1H-pyrazol-4-yl)-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-(9CI) (CA INDEX NAME)

RN 330785-54-1 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2R, 4R)-4-hydroxy-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(2pyrimidinylmethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 330785-55-2 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(3-methyl-1H-pyrazol-4-yl)(9CI) (CA INDEX NAME)

RN 330785-56-3 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(1,3-dimethyl-1H-pyrazol-4-yl)-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-57-4 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N(1,5-dimethyl-1H-pyrazol-4-yl)-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl](9CI) (CA INDEX NAME)

RN 330785-58-5 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2R,3S)-3-hydroxy-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(2pyrimidinylmethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 330785-59-6 USPATFULL

CN Phosphonic acid, [[[[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-5-pyrimidinyl]carbonyl]amino]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)

RN 330785-65-4 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-4-[[(4-methoxy-3-methylphenyl)methyl]amino]-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-66-5 USPATFULL

CN

5-Pyrimidinecarboxamide, 2,2'-(1,4-piperazinediyl)bis[4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(trans-4-hydroxycyclohexyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-B

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RN 330785-67-6 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-5-pyrimidinyl- (9CI) (CA
INDEX NAME)

RN 330785-68-7 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[((3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-2-pyrimidinyl- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 330785-69-8 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-4-pyrimidinyl- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 330785-70-1 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(3,4-dimethyl-5-isoxazolyl)-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-(9CI) (CA INDEX NAME)

RN 330785-71-2 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(4,6-dimethyl-2-pyridinyl)-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-72-3 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(4,6-dimethyl-1-oxido-2-pyridinyl)-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-(9CI) (CA INDEX NAME)

RN 330785-73-4 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(4,6-dimethyl-2-pyrimidinyl)-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-75-6 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[[(2R)-4-methyl-2morpholinyl]methyl]- (9CI) (CA INDEX NAME)

RN 330785-76-7 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[[(2S)-4-methyl-2morpholinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-77-8 USPATFULL

CN

5-Pyrimidinecarboxamide, 2-[(2R,5S)-2,5-bis(hydroxymethyl)-1-pyrrolidinyl]-4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(2-pyrimidinylmethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 330785-79-0 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(1,3,5-trimethyl-1H-pyrazol-4yl) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 9 OF 18 USPATFULL

ACCESSION NUMBER:

2002:323169 USPATFULL

TITLE:

2, 4-disubstituted pyrimidine-5-carboxamide derivatives

as KCNQ potassium channel

modulators

INVENTOR(S):

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NUMBER DATE PRIORITY INFORMATION: US 2001-269800P 20010220 (60) DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION LEGAL REPRESENTATIVE: STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000 NUMBER OF CLAIMS: EXEMPLARY CLAIM: LINE COUNT: 1346 CAS INDEXING IS AVAILABLE FOR THIS PATENT. AB There is provided a method of treatment for disorders responsive to the modulation of KCNQ potassium channels by administering to a mammal in need thereof a therapeutically effective amount of a 2,4-disubstituted pyrimidine-5-carboxamide derivative of the Formula I ##STR1## wherein R.sup.1, R.sup.2, R.sup.3, R.sup.4 and R.sup.5 are as defined below. The present invention also provides pharmaceutical compositions comprising openers or activators of the KCNQ potassium channels and especially to the method of treatment of disorders sensitive to KCNQ potassium channel opening activity such as migraine. CAS INDEXING IS AVAILABLE FOR THIS PATENT. 452096-56-9P 452096-58-1P 452096-60-5P 452096-62-7P 452096-64-9P 452096-66-1P 452096-68-3P 452096-70-7P 452096-72-9P 452096-74-1P 452096-76-3P 452096-78-5P 452096-80-9P 452096-82-1P 452096-84-3P 452096-86-5P 452096-88-7P 452096-90-1P 452096-91-2P 452096-92-3P 452096-93-4P 452096-94-5P 452096-95-6P 452096-96-7P 452096-97-8P 452096-98-9P 452096-99-0P 452097-00-6P 452097-01-7P 452097-02-8P 452097-03-9P 452097-04-0P 452097-05-1P 452097-06-2P 452097-07-3P 452097-08-4P 452097-09-5P 452097-10-8P 452097-11-9P 452097-12-0P 452097-13-1P 452097-14-2P 452097-15-3P 452097-16-4P 452097-17-5P 452097-18-6P 452097-19-7P 452097-20-0P 452097-21-1P 452097-22-2P 452097-23-3P 452097-24-4P 452097-25-5P 452097-26-6P 452097-27-7P 452097-28-8P 452097-29-9P 452097-30-2P 452097-31-3P 452097-32-4P 452097-33-5P 452097-34-6P 452097-36-8P 452097-38-0P 452097-39-1P 452097-40-4P 452097-41-5P (prepn. of 2,4-disubstituted pyrimidine-5-carboxamides as KCNQ potassium channel modulators) RN 452096-56-9 USPATFULL CN 5-Pyrimidinecarboxamide, 4-cyclohexyl-N-[(4-fluorophenyl)methyl]-2-(4morpholinyl)-, trifluoroacetate (9CI) (CA INDEX NAME) CM

CRN 452096-55-8 CMF C22 H27 F N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN . 452096-58-1 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-cyclohexyl-2-(4-morpholinyl)-N-(phenylmethyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-57-0 CMF C22 H28 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 452096-60-5 USPATFULL

5-Pyrimidinecarboxamide, 4-cyclohexyl-N-[(4-fluorophenyl)methyl]-2-(1-piperidinyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-59-2 CMF C23 H29 F N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 452096-62-7 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-cyclohexyl-N-[(4-fluorophenyl)methyl]-2-(1-pyrrolidinyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-61-6 CMF C22 H27 F N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

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RN 452096-64-9 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-cyclohexyl-N-(cyclohexylmethyl)-2-[ethyl(phenylmethyl)amino]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-63-8 CMF C27 H38 N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 452096-66-1 USPATFULL

5-Pyrimidinecarboxamide, 4-cyclohexyl-2-[ethyl(phenylmethyl)amino]-N-(phenylmethyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-65-0 CMF C27 H32 N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 452096-68-3 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-cyclohexyl-2-[ethyl(phenylmethyl)amino]-N-[(4-fluorophenyl)methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-67-2 CMF C27 H31 F N4 O

CM 2 '

CRN 76-05-1 CMF C2 H F3 O2

RN 452096-70-7 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-cyclohexyl-N-(cyclohexylmethyl)-2-(2-methyl-1-piperidinyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-69-4 CMF C24 H38 N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 452096-72-9 USPATFULL

5-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-4-propyl-2-(1-pyrrolidinyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-71-8 CMF C19 H23 F N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 452096-74-1 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-2-(1-piperidinyl)-4-propyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-73-0 CMF C20 H25 F N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 452096-76-3 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-4-(1-methylethyl)-2-(1-pyrrolidinyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-75-2 CMF C19 H23 F N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 452096-78-5 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-4-(1-methylethyl)-2-(1-piperidinyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-77-4 CMF C20 H25 F N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 452096-80-9 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(4-fluorophenyl)methyl]-4-(1-methylethyl)-2-(4-morpholinyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-79-6 CMF C19 H23 F N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 452096-82-1 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[ethyl(phenylmethyl)amino]-4-(1-methylethyl)-N-(phenylmethyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-81-0 CMF C24 H28 N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 452096-84-3 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-(2-fluorophenyl)-2-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-83-2 CMF C23 H20 F4 N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 452096-86-5 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-(2-fluorophenyl)-2-(4-morpholinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-85-4

CMF C23 H20 F4 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 452096-88-7 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-(4-fluorophenyl)-2-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-87-6 CMF C23 H20 F4 N4 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 452096-90-1 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-(2-fluorophenyl)-2-(4-morpholinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 452096-89-8 CMF C23 H20 F4 N4 O2

CM · 2

CRN 76-05-1 CMF C2 H F3 O2

RN 452096-91-2 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-(1-pyrrolidinyl)-4-(trifluoromethyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452096-92-3 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-(1-pyrrolidinyl)-4-(trifluoromethyl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452096-93-4 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(4-chlorophenyl)methyl]-2-(1-pyrrolidinyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 452096-94-5 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(4-chlorophenyl)methyl]-2-(4-morpholinyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 452096-95-6 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-(hexahydro-1H-azepin-1-yl)-N-[(4-methylphenyl)methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 452096-96-7 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-(1-pyrrolidinyl)-N-[[4-(trifluoromethoxy)phenyl]methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 452096-97-8 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-(4-morpholinyl)-N-[[4-(trifluoromethoxy)phenyl]methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 452096-98-9 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-(1-piperidinyl)-N-[[4-(trifluoromethoxy)phenyl]methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F_3C-O & O & O \\ \hline \\ CH_2-NH-C & N & N \\ \hline \\ F_3C & N & N \end{array}$$

RN 452096-99-0 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-(diethylamino)-N-[[4-(trifluoromethoxy)phenyl]methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 452097-00-6 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(4-bromophenyl)methyl]-2-(1-pyrrolidinyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 452097-01-7 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[4-(dimethylamino)phenyl]methyl]-2-(1-pyrrolidinyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 452097-02-8 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-(4-morpholinyl)-4-(trifluoromethyl)-N-[[4-[(trifluoromethyl)thio]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ \hline \\ O & & & \\ \hline \\ O & & \\ \hline \\ O & & \\ \hline \\ C - NH - CH_2 \\ \hline \\ S - CF_3 \\ \hline \\ \end{array}$$

RN 452097-03-9 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-amino-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-04-0 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[(1-ethylpropyl)amino]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-05-1 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[ethyl(2-hydroxyethyl)amino]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-06-2 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-(methylpentylamino)-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-07-3 USPATFULL

CN 5-Pyrimidinecarboxamide, 2,4-di-1-pyrrolidinyl-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-08-4 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-(cyclopentylamino)-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-09-5 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[(phenylmethyl)amino]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-10-8 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[methyl(phenylmethyl)amino]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-11-9 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[(4-chlorophenyl)methylamino]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

F3C
$$O$$
 N Me $C1$

RN 452097-12-0 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-(methyl-2-propynylamino)-4-(1-pyrrolidinyl)-N[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} \\ \downarrow \\ \text{N} \\ \hline \\ \text{N} \\ \hline \\ \text{C-NH-CH}_2 \\ \hline \\ \text{CF}_3 \\ \end{array}$$

RN 452097-13-1 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-(diethylamino)-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-14-2 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[methyl[4-(trifluoromethoxy)phenyl]amino]-4-(1-

pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-15-3 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[methyl(4-nitrophenyl)amino]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-16-4 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-(2-propynylamino)-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{HC} = \text{C-CH}_2 - \text{NH} & \text{N} & \text{O} \\ \hline & \text{N} & \text{C-NH-CH}_2 \\ \hline & \text{N} & \text{CF}_3 \end{array}$$

RN 452097-17-5 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[(3-chlorophenyl)methylamino]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-18-6 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[(3,4-dichlorophenyl)methylamino]-4-(1-

pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

F3C
$$O$$
 N Me $C1$ $C1$

RN 452097-19-7 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-(4-fluorophenoxy)-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-20-0 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-(2-methoxyphenoxy)-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-21-1 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-(2-propynyloxy)-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-22-2 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-(1-pyrrolidinyl)-2-(2-thienylmethoxy)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-23-3 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[2-(4-fluorophenyl)ethoxy]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-24-4 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-(3,4-dimethoxyphenyl)-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-25-5 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-(2-methoxyphenyl)-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-26-6 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-(1-pyrrolidinyl)-2-(3-thienyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-27-7 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-(1-pyrrolidinyl)-2-(2-thienyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-28-8 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[[(4-chlorophenyl)methyl]thio]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-29-9 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[(2-furanylmethyl)thio]-4-(1-pyrrolidinyl)-N[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-30-2 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[(4-nitrophenyl)thio]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-31-3 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[(3-methylphenyl)methyl]thio]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-32-4 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[(2-chlorophenyl)thio]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-33-5 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-(cyclopropylthio)-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-34-6 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-(1-pyrrolidinyl)-2-(2-thienylthio)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-36-8 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[(2-methylpropyl)thio]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-38-0 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[(phenylmethyl)thio]-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-39-1 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-(diethylamino)-N-[(5-methyl-2-furanyl)methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \overset{\text{O}}{\longrightarrow} \text{CH}_2 - \text{NH} - \overset{\text{O}}{\subset} & \overset{\text{N}}{\longrightarrow} & \text{NEt}_2 \\ \end{array}$$

RN 452097-40-4 USPATFULL

CN 5-Pyrimidinecarboxamide, N-(2-furanylmethyl)-2-(1-pyrrolidinyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 452097-41-5 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(5-methyl-2-furanyl)methyl]-2-(4-morpholinyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Me
$$CH_2-NH-C$$
 N N N

IT 452097-47-1P 452097-48-2P

(prepn. of 2,4-disubstituted pyrimidine-5-carboxamides as KCNQ potassium channel modulators)

RN 452097-47-1 USPATFULL

CN 5-Pyrimidinecarboxamide, 2,4-dichloro-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 452097-48-2 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-chloro-4-(1-pyrrolidinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

L41 ANSWER 10 OF 18 USPATFULL

ACCESSION NUMBER: 2002:186284 USPATFULL

TITLE: 2,4,5,-trisubstituted pyrimidine derivatives

INVENTOR(S): Stadler, Heinz, Rheinfelden, SWITZERLAND

NUMBER KIND DATE

PATENT INFORMATION: US 2002099207 A1 20020725
APPLICATION INFO.: US 2001-977586 A1 20011015 (9)

NUMBER DATE

PRIORITY INFORMATION:

EP 2000-125529 20001122

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

MOFFMANN-LA ROCHE INC.) PATENT LAW DEPARTMENT, 340

KINGSLAND STREET, NUPLEY, NJ, 07110

NUMBER OF CLAIMS:

EXEMPLARY CLAIM:

1834

LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT. .

AB The invention relates to compounds of the formula ##STR1##

wherein

R.sup.1 is lower alkyl, lower alkoxy, pyridinyl, pyrimidinyl, phenyl, --S-lower alkyl, --S(0).sub.2-lower alkyl, --N(R)--(CH.sub.2).sub.n--N(R).sub.2, --O--(CH.sub.2).sub.n--N(R).sub.2, --N(R).sub.2, or a cyclic tertiary amine of the group ##STR2##

which may contain one additional heteroatom, selected from N, O or S, and wherein this group may be connected with the pyrimidine ring via the linker -- O(CH. sub.2).sub.n--;

R.sup.2 is hydrogen, lower alkyl, lower alkoxy, halogen or trifluoromethyl;

R.sup.3/R.sup.3' is, independently from each other, hydrogen or lower alkyl;

R.sup.4 is independently from each other halogen, trifluoromethyl or lower alkoxy;

R.sup.5 is hydrogen or lower alkyl;

R is, independently from each other, hydrogen or lower alkyl;

X is --C(0)N(R)-- or --N(R)C(0)--;

Y is --O--, --S--, --SO.sub.2--, - or --N(R)--;

n is 1,2,3 or 4; and

m is 0,1 or 2;

or a pharmaceutically acceptable acid addition salt thereof. The compound of the invention has affintity to the NK1 receptor and is therefore suitable in the treatment of diseases related to this recepor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 432520-78-0P 432520-86-0P 432521-20-5P

(prepn. of pyrimidine derivs. as NK1 antagonists)

432520-78-0 USPATFULL RN

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-Nmethyl-4-(2-methylphenoxy)-2-(methylthio)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CF3 & \text{Me O} \\ \hline \\ F3C & \text{Me} \\ \hline \\ N & \text{SMe} \\ \end{array}$$

432520-86-0 USPATFULL RN

5-Pyrimidinecarboxamide, N-[(3,5-dimethoxyphenyl)methyl]-N-methyl-4-(2-CN methylphenoxy)-2-(methylthio)- (9CI) (CA INDEX NAME)

432521-20-5 USPATFULL RN

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-Nmethyl-4-(2-methylphenoxy)-2-(4-thiomorpholinyl)- (9CI) (CA INDEX NAME)

$$CF3$$
 Me
 O
 N
 N
 N

432520-79-1P 432520-80-4P 432520-81-5P IT 432520-82-6P 432520-83-7P 432520-84-8P 432520-85-9P 432520-87-1P 432520-88-2P 432520-89-3P 432520-90-6P 432520-91-7P 432520-92-8P 432520-93-9P 432520-94-0P 432520-95-1P 432520-96-2P 432520-97-3P 432520-98-4P 432520-99-5P 432521-00-1P 432521-01-2P 432521-02-3P 432521-03-4P 432521-04-5P 432521-05-6P 432521-06-7P 432521-07-8P 432521-08-9P 432521-09-0P 432521-10-3P 432521-11-4P 432521-13-6P 432521-14-7P 432521-15-8P 432521-16-9P 432521-17-0P 432521-18-1P 432521-19-2P 432521-21-6P

(prepn. of pyrimidine derivs. as NK1 antagonists)

RN 432520-79-1 USPATFULL CN 5-Pyrimidinecarboxamid

5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenoxy)-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 432520-80-4 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenoxy)-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

RN 432520-81-5 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenoxy)-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 432520-82-6 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenoxy)-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 432520-83-7 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-[[2-(dimethylamino)ethyl]amino]-N-methyl-4-(2-methylphenoxy)- (9CI) (CA INDEX NAME)

RN 432520-84-8 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenoxy)-2-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)

RN 432520-85-9 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-[2-(dimethylamino)ethoxy]-N-methyl-4-(2-methylphenoxy)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CF3 \\ \text{Me} & O \\ \text{CH}_2-\text{N}-\text{C} & \text{N} \\ \text{Me} & \text{O}-\text{CH}_2-\text{CH}_2-\text{NMe}_2 \\ \end{array}$$

RN 432520-87-1 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dimethoxyphenyl)methyl]-N-methyl-4-(2-methylphenoxy)-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 432520-88-2 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dimethoxyphenyl)methyl]-N-methyl-4-(2-methylphenoxy)-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 432520-89-3 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dimethoxyphenyl)methyl]-2-[2-(dimethylamino)ethoxy]-N-methyl-4-(2-methylphenoxy)- (9CI) (CA INDEX NAME)

10/075521

Me O
$$CH_2-N-C$$
 N $O-CH_2-CH_2-NMe_2$

RN 432520-90-6 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dimethylphenyl)methyl]-N-methyl-4-(2-methylphenoxy)-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 432520-91-7 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dimethylphenyl)methyl]-N-methyl-4-(2-methylphenoxy)-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 432520-92-8 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dimethylphenyl)methyl]-N-methyl-4-(2-methylphenoxy)-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 432520-93-9 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[2-(dimethylamino)ethoxy]-N-[(3,5-dimethylphenyl)methyl]-N-methyl-4-(2-methylphenoxy)- (9CI) (CA INDEX NAME)

RN 432520-94-0 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dichlorophenyl)methyl]-4-(2-methylphenoxy)-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 432520-95-1 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dichlorophenyl)methyl]-4-(2-methylphenoxy)-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 432520-96-2 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dichlorophenyl)methyl]-4-(2-methylphenoxy)-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & Me \\ \hline \\ C1 & & CH_2-NH-C \\ \hline \\ N & N \\ \end{array}$$

RN 432520-97-3 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dichlorophenyl)methyl]-N-methyl-4-(2-methylphenoxy)-2-(methylthio)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 - \text{N} - \text{C} \\ \text{Me} \\ \text{N} \end{array} \begin{array}{c} \text{N} \\ \text{SMe} \end{array}$$

RN 432520-98-4 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dichlorophenyl)methyl]-N-methyl-4-(2-methylphenoxy)-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 432520-99-5 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dichlorophenyl)methyl]-N-methyl-4-(2-methylphenoxy)-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 432521-00-1 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-2-(methylthio)-4-phenoxy-(9CI) (CA INDEX NAME)

RN 432521-01-2 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-2-(methylsulfonyl)-4-phenoxy- (9CI) (CA INDEX NAME)

RN 432521-02-3 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-2-(4-methyl-1-piperazinyl)-4-phenoxy- (9CI) (CA INDEX NAME)

- RN 432521-03-4 USPATFULL
- CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chlorophenoxy)-N-methyl-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

- RN 432521-04-5 USPATFULL
- CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chlorophenoxy)-N-methyl-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

- RN 432521-05-6 USPATFULL
- CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-methoxyphenoxy)-N-methyl-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 432521-06-7 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-methoxyphenoxy)-N-methyl-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 432521-07-8 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-[[2-(dimethylamino)ethyl]amino]-4-(2-methoxyphenoxy)-N-methyl-(9CI) (CA INDEX NAME)

F3C

$$Me O$$
 OMe
 $NH-CH_2-CH_2-NMe_2$

RN 43252,1-08-9 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(4-fluorophenoxy)-N-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 432521-09-0 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(4-fluorophenoxy)-N-methyl-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 432521-10-3 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(4-fluorophenoxy)-N-methyl-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 432521-11-4 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(4-fluorophenoxy)-N-methyl-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

$$CF3$$
 $Me O$
 NH
 NH

RN 432521-13-6 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-[[2-(dimethylamino)ethyl]amino]-4-(4-fluorophenoxy)-N-methyl-(9CI) (CA INDEX NAME)

RN 432521-14-7 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-[2-(dimethylamino)ethoxy]-4-(4-fluorophenoxy)-N-methyl-(9CI) (CA INDEX NAME)

RN 432521-15-8 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenoxy)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Jones

RN 432521-16-9 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(4-fluorophenoxy)-N-methyl-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 432521-17-0 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N,2-dimethyl-4-(2-methylphenoxy)- (9CI) (CA INDEX NAME)

RN 432521-18-1 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenoxy)-2-phenyl- (9CI) (CA INDEX NAME)

RN 432521-19-2 USPATFULL

CN [2,2'-Bipyrimidine]-5-carboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]meth yl]-N-methyl-4-(2-methylphenoxy)- (9CI) (CA INDEX NAME)

$$CF_3$$
 Me
 O
 N
 N
 N

RN 432521-21-6 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-(1,1-dioxido-4-thiomorpholinyl)-N-methyl-4-(2-methylphenoxy)- (9CI) (CA INDEX NAME)

L41 ANSWER 11 OF 18 USPATFULL

ACCESSION NUMBER:

2002:67175 USPATFULL

TITLE:

Administration of phosphodiesterase inhibitors for the

treatment of premature ejaculation

INVENTOR(S):

Wilson, Leland E, Menlo Park, CA, UNITED STATES Doherty, Paul C., JR., Cupertino, CA, UNITED STATES

Place, Virgil A., Kawaihae, HI, UNITED STATES Smith, William L., Montclair, NJ, UNITED STATES Abdel-Hamid Abdou Ali, Ibrahim AbouBakr, Mansoura,

EGYPT

Page 185

NUMBER KIND DATE PATENT INFORMATION: US 2002037828 A1 20020328 B2 20020611 US 2001-888250 APPLICATION INFO .: A1 20010621

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1999-467094, filed

on 10 Dec 1999, PENDING Continuation-in-part of Ser. No. US 1998-181070, filed on 27 Oct 1998, GRANTED, Pat. No. US 6037346 Continuation-in-part of Ser. No. US

1997-958816, filed on 28 Oct 1997, ABANDONED

DOCUMENT TYPE: Utility APPLICATION FILE SEGMENT:

REED & ASSOCIATES, 800 MENLO AVENUE, SUITE 210, MENLO LEGAL REPRESENTATIVE:

PARK, CA, 94025

NUMBER OF CLAIMS: 94 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 1 Drawing Page(s)

2011 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A method is provided for treatment of premature ejaculation by AB administration of a phosphodiesterase inhibitor, e.g., an inhibitor of a Type III, Type IV, or Type V phosphodiesterase. In a preferred embodiment, administration is on as "as needed" basis, i.e., the drug is administered immediately or several hours prior to sexual activity. Pharmaceutical formulations and packaged kits are also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

330784-28-6 330784-47-9 330785-79-0

(administration of phosphodiesterase inhibitors for treatment of premature ejaculation)

330784-28-6 USPATFULL RN

5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-CN (5,8-dihydro-1,7-naphthyridin-7(6H)-yl)-N-[2-(4-morpholinyl)ethyl]-(CA INDEX NAME)

RN 330784-47-9 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-79-0 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(1,3,5-trimethyl-1H-pyrazol-4yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 12 OF 18 USPATFULL

ACCESSION NUMBER:

2002:27480 USPATFULL

TITLE: INVENTOR(S):

Corticotropin releasing factor antagonists
Chen Yuhpyng L., Waterford, CT, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION: APPLICATION INFO.:	US 2002016328 US 2001-761995		20020207 20010117	(9)

NUMBER DATE

PRIORITY INFORMATION: US 2000-176611P 20000118 (60) DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49,

NEW YORK, NY, 10017-5612

NUMBER OF CLAIMS: 22 EXEMPLARY CLAIM: LINE COUNT: 5425

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Corticotropin-releasing factor (CRF) antagonists having the formulae ##STR1##

wherein the dashed lines, A, B, Y, Z, G, R.sub.3, R.sub.4, R.sub.5, R.sub.6, R.sub.16 and R.sub.17 are as defined in the application, and processes for preparing them. These compounds and their pharmaceutically acceptable salts are useful in the treatment disorders including CNS and stress-related disorders.

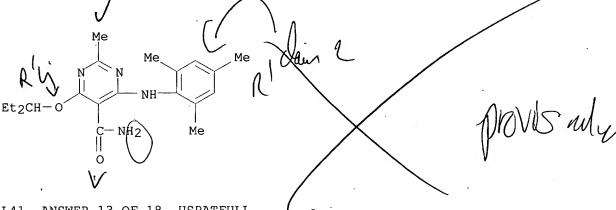
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 351380-50-2P

(prepn. of pyridines, pyrimidines, purinones, pyrrolopyrimidinones and pyrrolopyridinones as corticotropin releasing factor antagonists)

351380-50-2 USPATFULL RN

5-Pyrimidinecarboxamide, 4-(1-ethylpropoxy)-2-methyl-6-[(2,4,6-CN trimeth/ylphenyl)amino]- (9CI) (CA INDEX NAME)



USPATFULL ANSWER 13 OF 18

ACCESSION NUMBER:

2001:131303 USPATFULL

TITLE:

INVENTOR(S):

4-phenyl-pyrimidine derivatives Bos, Michael, Montreal, Canada

Galley, Guido, Rheinfelden, Germany, Federal Republic

Godel, Thierry, Basel, Switzerland

Hoffmann, Torsten, Birsfelden, Switzerland Hunkeler, Walter, Magden, Switzerland Schnider, Patrick, Oberwil, Switzerland Stadler, <u>Heinz, Rheinfelden</u>, Switzerland

PATENT ASSIGNEE(S):

Noffmann-La Roche Inc., Nutley, NJ, United States (U.S.

corporation)

DATE NUMBER KIND 20010814 US 6274588 2000/0522 US 2000-575382 (9)

PATENT INFORMATION: APPLICATION INFO .:

> NUMBER DATE EP 1999-110483 19990531

PRIORITY INFORMATION: DOCUMENT TYPE:

Utility GRANTED

FILE SEGMENT: PRIMARY EXAMINER:

Ford, John M.

LEGAL REPRESENTATIVE:

Johnston, George W., Rocha-Tramaloni, Patricia S., Ebel, Eileen M.

NUMBER OF CLAIMS:

EXEMPLARY CLAIM:

1 2210

LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides com

The invention provides compounds of the formula ##STR1##

wherein

R.sup.1 is hydrogen or halogen;

R.sup.2 is hydrogen, halogen, lower alkyl or lower alkoxy;

R.sup.1 and R.sup.2 may together be --CH.dbd.CH--CH.dbd.CH--, wherein R1 and R.sup.2 together with the two carbon ring atoms to which they are attached form a fused ring;

R.sup.3 is halogen, trifluoromethyl, lower alkyl or lower alkoxy;

R.sup.4 /R.sup.4' are each independently hydrogen or lower alkyl;

R.sup.5 is lower alkyl, lower alkoxy, amino, phenyl, hydroxy-lower alkyl, cyano-lower alkyl, carbamoyl-lower alkyl, pyridyl, pyrimidyl, --(CH.sub.2).sub.n -piperazinyl, which is optionally substituted by one or two lower alkyl groups or by hydroxy-lower alkyl, --(CH.sub.2).sub.n -morpholinyl, --(CH.sub.2).sub.n -piperidinyl, --(CH.sub.2).sub.n+1 -imidazolyl, lower alkyl-sulfanyl, lower alkyl-sulfonyl, benzylamino, --NH--(CH.sub.2).sub.n+1 N(R.sup.4").sub.2, --(CH.sub.2).sub.n+1 N(R.sup.4").sub.2, --O--(CH.sub.2).sub.n+1 -morpholinyl, --O--(CH.sub.2).sub.n+1 -piperidinyl or --O--(CH.sub.2).sub.n+1 N(R.sup.4").sub.2, wherein R.sup.4" is hydrogen or lower alkyl; and

n is 0-2;

X is --C(0)N(R.sup.4")-- or --N(R.sup.4")C(0)--;

and to pharmaceutically acceptable acid addition salts thereof.

It has been shown that the compounds have a good affinity to the NK-1 receptor and may therefore used for the treatment of diseases related to this receptor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 311339-96-5P 311340-02-0P 311340-04-2P

311340-12-2P 311340-13-3P 311340-14-4P

311340-26-8P 311340-27-9P 311340-28-0P

311340-34-8P 311340-38-2P 311340-39-3P

311340-40-6P 311340-45-1P 311340-46-2P

(prepn and biol. activity of phenylpyrimidine derivs. as NK-1 antagonists)

RN 311339-96-5 USPATFULL

CN

5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-bromophenyl)-N-methyl-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

good for

RN 311340-02-0 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chlorophenyl)-N-methyl-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

$$CF3$$
 $Me O$
 $C1$
 Me
 N
 N
 N
 N

RN 311340-04-2 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chlorophenyl)-N-methyl-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

$$CF_3$$
 CH_2-N-C
 N
 N
 N

RN 311340-12-2 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 311340-13-3 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2[(3R,5S)-3,5-dimethyl-1-piperazinyl]-N-methyl-4-(2-methylphenyl)-, rel(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 311340-14-4 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 311340-26-8 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(4-fluorophenyl)-N-methyl-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

$$CF_3$$
 Me
 O
 CH_2-N-C
 N
 N
 N
 N

RN 311340-27-9 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2[(3R,5S)-3,5-dimethyl-1-piperazinyl]-4-(4-fluorophenyl)-N-methyl-, rel(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 311340-28-0 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(4-fluorophenyl)-N-methyl-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CF3 & F \\ Me & O \\ \hline \\ F3C & NH \end{array}$$

RN 311340-34-8 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-fluorophenyl)-N-methyl-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 311340-38-2 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(4-fluoro-2-methylphenyl)-N-methyl-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 311340-39-3 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2[(3R,5S)-3,5-dimethyl-1-piperazinyl]-4-(4-fluoro-2-methylphenyl)-Nmethyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 311340-40-6 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(4-fluoro-2-methylphenyl)-N-methyl-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 311340-45-1 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2[(3R,5S)-3,5-dimethyl-1-piperazinyl]-N-methyl-4-(1-naphthalenyl)-, rel(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 311340-46-2 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(1-naphthalenyl)-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

311339-57-8P 311339-58-9P 311339-59-0P 311339-60-3P 311339-61-4P 311339-62-5P 311339-63-6P 311339-64-7P 311339-65-8P 311339-66-9P 311339-67-0P 311339-68-1P 311339-69-2P 311339-70-5P 311339-71-6P 311339-72-7P 311339-73-8P 311339-74-9P 311339-75-0P 311339-76-1P 311339-77-2P 311339-78-3P 311339-79-4P 311339-80-7P 311339-81-8P 311339-82-9P 311339-83-0P 311339-84-1P 311339-85-2P 311339-86-3P 311339-87-4P 311339-88-5P 311339-89-6P 311339-90-9P 311339-91-0P 311339-92-1P 311339-93-2P 311339-94-3P 311339-95-4P 311339-97-6P 311339-98-7P 311339-99-8P 311340-00-8P 311340-01-9P 311340-03-1P 311340-05-3P 311340-06-4P 311340-07-5P 311340-08-6P 311340-09-7P 311340-10-0P 311340-11-1P 311340-15-5P 311340-16-6P 311340-17-7P 311340-18-8P 311340-19-9P 311340-20-2P 311340-21-3P 311340-22-4P 311340-23-5P 311340-24-6P 311340-25-7P 311340-29-1P 311340-30-4P 311340-31-5P 311340-32-6P 311340-33-7P 311340-35-9P 311340-36-0P 311340-37-1P 311340-41-7P 311340-42-8P 311340-43-9P 311340-44-0P 311340-47-3P 311340-48-4P 311340-49-5P 311340-50-8P 311340-51-9P 311340-52-0P 311340-53-1P 311340-55-3P 311340-56-4P 311340-57-5P 311340-58-6P 311340-59-7P 311340-60-0P 311340-61-1P 311340-62-2P 311341-03-4P 311341-04-5P 311341-05-6P 311341-06-7P 311341-07-8P 311341-08-9P 311341-09-0P 311341-10-3P 311341-11-4P 311341-12-5P 311341-13-6P 311341-14-7P 311341-15-8P 311341-16-9P 311341-17-0P (prepn and biol. activity of phenylpyrimidine derivs. as NK-1

antagonists)

RN 311339-57-8 USPATFULL

CN

5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N,2-dimethyl-4-phenyl- (9CI) (CA INDEX NAME)

RN 311339-58-9 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dichlorophenyl)methyl]-N,2-dimethyl-4phenyl- (9CI) (CA INDEX NAME)

RN 311339-59-0 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-bromophenyl)-N,2-dimethyl- (9CI) (CA INDEX NAME)

$$CF_3$$
 CH_2-N-C
 N
 Me
 N
 Me
 N
 Me
 N
 Me

RN 311339-60-3 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-bromophenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN .311339-61-4 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-2,4-diphenyl- (9CI) (CA INDEX NAME)

RN 311339-62-5 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dichlorophenyl)methyl]-N-methyl-2,4-diphenyl- (9CI) (CA INDEX NAME)

RN 311339-63-6 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dichlorophenyl)methyl]-N-methyl-4-phenyl-2-propyl- (9CI) (CA INDEX NAME)

RN 311339-64-7 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-phenyl-2-propyl- (9CI) (CA INDEX NAME)

RN 311339-65-8 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]-N-methyl-4-phenyl-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 311339-66-9 USPATFULL

CN [2,2'-Bipyrimidine]-5-carboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]meth yl]-N-methyl-4-phenyl- (9CI) (CA INDEX NAME)

RN 311339-67-0 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-methyl-4-(1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 311339-68-1 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N,2-dimethyl-4-(1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 311339-69-2 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-methoxyphenyl)-N,2-dimethyl- (9CI) (CA INDEX NAME)

$$CF3$$
 $Me O$
 CH_2-N-C
 N
 MeO
 MeO

RN 311339-70-5 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-2-(methylthio)-4-phenyl- (9CI) (CA INDEX NAME)

RN 311339-71-6 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-2-(methylsulfonyl)-4-phenyl- (9CI) (CA INDEX NAME)

RN 311339-72-7 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-2-(4-methyl-1-piperazinyl)-4-phenyl- (9CI) (CA INDEX NAME)

RN 311339-73-8 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-phenyl-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 311339-74-9 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-2-(4-morpholinyl)-4-phenyl- (9CI) (CA INDEX NAME)

RN 311339-75-0 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-phenyl-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{CF3} & \text{Me O} \\ \text{CH}_2 - \text{N} - \text{C} & \text{N} \\ \text{Ph} & \text{N} \end{array}$$

RN 311339-76-1 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2[(3R,5S)-3,5-dimethyl-1-piperazinyl]-N-methyl-4-phenyl-, rel- (9CI) (CI
INDEX NAME)

Relative stereochemistry.

RN 311339-77-2 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-[[2-(dimethylamino)ethyl]amino]-N-methyl-4-phenyl- (9CI) (CA INDEX NAME)

RN 311339-78-3 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]-N-methyl-4-phenyl-2-(1-piperidinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CF3 & Me & O \\ \hline \\ F_3C & - CH_2 - N - C & N \\ \hline \\ Ph & N \end{array}$$

RN 311339-79-4 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-[4-(2-hydroxyethyl)-1-piperazinyl]-N-methyl-4-phenyl-(9CI) (CA INDEX NAME)

RN 311339-80-7 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-

methyl-2-[2-(4-morpholinyl)ethoxy]-4-phenyl- (9CI) (CA INDEX NAME)

RN 311339-81-8 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-phenyl-2-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)

$$F_{3}C$$

Me O

 $CH_{2}-N-C$
 N
 $O-CH_{2}-CH_{2}-N$

RN 311339-82-9 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-[2-(dimethylamino)ethoxy]-N-methyl-4-phenyl-(9CI) (CA INDEX NAME)

RN 311339-83-0 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-[3-(dimethylamino)propoxy]-N-methyl-4-phenyl- (9CI) (CA INDEX NAME)

$$Me_2N-(CH_2)_3-O$$
 N
 O
 Me
 CF_3
 CF_3
 CF_3

RN 311339-84-1 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-methoxy-N-methyl-4-phenyl- (9CI) (CA INDEX NAME)

RN 311339-85-2 USPATFULL

CN 2-Pyrimidineacetamide, 5-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]methyla mino]carbonyl]-4-phenyl- (9CI) (CA INDEX NAME)

$$H_2N-C-CH_2$$
 $H_2N-C-CH_2$
 $H_2N-C-CH_2$
 $H_2N-C-CH_2$
 $H_2N-C-CH_2$
 $H_2N-C-CH_2$
 $H_2N-C-CH_2$
 $H_2N-C-CH_2$
 $H_2N-C-CH_2$
 $H_2N-C-CH_2$

RN 311339-86-3 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-(cyanomethyl)-N-methyl-4-phenyl- (9CI) (CA INDEX NAME)

RN 311339-87-4 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-(hydroxymethyl)-N-methyl-4-phenyl- (9CI) (CA INDEX NAME)

RN 311339-88-5 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-[(dimethylamino)methyl]-N-methyl-4-phenyl- (9CI) (CA INDEX NAME)

RN 311339-89-6 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-2-(4-morpholinylmethyl)-4-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & \text{Ph} \\
 & \text{CH}_2 \\
 & \text{N} \\
 & \text{CH}_2 \\
 & \text{O Me}
\end{array}$$

$$\begin{array}{c|c}
 & \text{CF}_3 \\
 & \text{CF}_3
\end{array}$$

RN 311339-90-9 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-2-[(4-methyl-1-piperazinyl)methyl]-4-phenyl- (9CI) (CA INDEX NAME)

RN 311339-91-0 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-phenyl-2-(1-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 311339-92-1 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-(1H-imidazol-1-ylmethyl)-N-methyl-4-phenyl- (9CI) (CA INDEX NAME)

RN 311339-93-2 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-bromophenyl)-N-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 311339-94-3 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-bromophenyl)-N-methyl-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 311339-95-4 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-amino-N-[[3,5-bis(trifluoromethyl)phenyl]methyl

]-4-(2-bromophenyl)-N-methyl- (9CI) (CA INDEX NAME)

$$CF3$$
 $Me O$
 CH_2-N-C
 N
 NH_2

RN 311339-97-6 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-bromophenyl)-2-[(3R,5S)-3,5-dimethyl-1-piperazinyl]-N-methyl-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 311339-98-7 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-bromophenyl)-N-methyl-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 311339-99-8 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-bromophenyl)-N-methyl-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

RN 311340-00-8 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chlorophenyl)-N-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)

$$CF3$$
 $Me O$
 CH_2-N-C
 N
 N
 SMe

RN 311340-01-9 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chlorophenyl)-N-methyl-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CF3 & Me & O \\ & & \parallel \\ & CH_2-N-C & N & O \\ & & N & S-Me \\ & & C1-N-C & O \\ \end{array}$$

RN 311340-03-1 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chlorophenyl)-2-[(3R,5S)-3,5-dimethyl-1-piperazinyl]-N-methyl-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 311340-05-3 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chlorophenyl)-N-methyl-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

RN 311340-06-4 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chlorophenyl)-2-[[2-(dimethylamino)ethyl]amino]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{CF3} \\ \text{Me O} \\ \text{II} \\ \text{NH-CH2-CH2-NMe2} \\ \text{C1-CH2-NMe2} \\ \end{array}$$

RN 311340-07-5 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chlorophenyl)-N-methyl-2-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)

RN 311340-08-6 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chlorophenyl)-2-[2-(dimethylamino)ethoxy]-N-methyl- (9CI) (CA INDEX NAME)

$$CF3$$
 $Me O$
 CH_2-N-C
 N
 $O-CH_2-CH_2-NMe_2$

RN 311340-09-7 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chlorophenyl)-2-[3-(dimethylamino)propoxy]-N-methyl- (9CI) (CA INDEX NAME)

$$CF3$$
 $Me O$
 CH_2-N-C
 N
 $O-(CH_2)_3-NMe_2$

RN 311340-10-0 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 311340-11-1 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 311340-15-5 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

RN 311340-16-6 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-[2-(dimethylamino)ethoxy]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX

RN 311340-17-7 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-[3-(dimethylamino)propoxy]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

$$CF_3$$
 $Me O$
 CH_2-N-C
 N
 $O-(CH_2)_3-NMe_2$

RN 311340-18-8 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-methoxyphenyl)-N-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{CF3} & \text{Me O} \\ \hline \\ \text{CH2} - \text{N-C} & \text{N} \\ \hline \\ \text{MeO} & \\ \end{array}$$

RN 311340-19-9 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-methoxyphenyl)-N-methyl-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 311340-20-2 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-methoxyphenyl)-N-methyl-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

$$CF3$$
 $Me O$
 CH_2-N-C
 N
 N
 N
 N

RN 311340-21-3 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2[(3R,5S)-3,5-dimethyl-1-piperazinyl]-4-(2-methoxyphenyl)-N-methyl-, rel(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 311340-22-4 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-methoxyphenyl)-N-methyl-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

10/075521

RN 311340-23-5 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-methoxyphenyl)-N-methyl-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

RN 311340-24-6 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(4-fluorophenyl)-N-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 311340-25-7 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(4-fluorophenyl)-N-methyl-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 311340-29-1 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(4-

fluorophenyl)-N-methyl-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

RN 311340-30-4 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-fluorophenyl)-N-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{CF3} & \text{Me O} \\ \hline \\ \text{CH2} - \text{N-C} & \text{N} \\ \hline \\ & \text{SMe} \end{array}$$

RN 311340-31-5 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-fluorophenyl)-N-methyl-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 311340-32-6 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-fluorophenyl)-N-methyl-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 311340-33-7 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-[(3R,5S)-3,5-dimethyl-1-piperazinyl]-4-(2-fluorophenyl)-N-methyl-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 311340-35-9 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-fluorophenyl)-N-methyl-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

RN 311340-36-0 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(4-fluoro-2-methylphenyl)-N-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CF3 & \text{Me O} \\ & \parallel \\ & \parallel \\ & \text{CH}_2-\text{N-C} \\ & \text{N} \end{array}$$
 SMe

RN 311340-37-1 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(4-fluoro-2-methylphenyl)-N-methyl-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 311340-41-7 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(4-fluoro-2-methylphenyl)-N-methyl-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

RN 311340-42-8 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-2-(methylthio)-4-(1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 311340-43-9 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-2-(methylsulfonyl)-4-(1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 311340-44-0 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-2-(4-methyl-1-piperazinyl)-4-(1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 311340-47-3 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-2-(4-morpholinyl)-4-(1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 311340-48-4 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-phenyl-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 311340-49-5 USPATFULL.

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chloro-4-fluorophenyl)-N-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 311340-50-8 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chloro-4-fluorophenyl)-N-methyl-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 311340-51-9 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chloro-4-fluorophenyl)-N-methyl-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

$$CF_3$$
 CH_2-N-C
 N
 N
 N
 N

RN 311340-52-0 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chloro-4-fluorophenyl)-N-methyl-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

RN 311340-53-1 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chloro-4-fluorophenyl)-N-methyl-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 311340-55-3 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chloro-4-fluorophenyl)-2-[2-(dimethylamino)ethoxy]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{CF3} & \text{Me O} \\ \text{CH}_2 - \text{N} - \text{C} \\ \text{C1} & \text{N} \\ \end{array}$$

RN 311340-56-4 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chloro-4-fluorophenyl)-2-[3-(dimethylamino)propoxy]-N-methyl- (9CI) (CA INDEX NAME)

$$F_{3}C$$

Me O

 $CH_{2}-N-C$
 N
 $O-(CH_{2})_{3}-NMe_{2}$

RN 311340-57-5 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chloro-4-fluorophenyl)-N-methyl-2-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)

RN 311340-58-6 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dimethoxyphenyl)methyl]-N-methyl-4-(2-methylphenyl)-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 311340-59-7 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dimethoxyphenyl)methyl]-N-methyl-4-(2-methylphenyl)-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 311340-60-0 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dimethoxyphenyl)methyl]-N-methyl-4-(2-methylphenyl)-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 311340-61-1 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dimethoxyphenyl)methyl]-N-methyl-4-(2-methylphenyl)-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

RN 311340-62-2 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dimethoxyphenyl)methyl]-2-[[2-(dimethylamino)ethyl]amino]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 311340-63-3 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dimethoxyphenyl)methyl]-2-[2-(dimethylamino)ethoxy]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 311341-03-4 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-bromophenyl)-N-methyl-2-(4-methyl-1-piperazinyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 311339-96-5 CMF C26 H24 Br F6 N5 O

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

311341-04-5 USPATFULL RN

5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-CN chlorophenyl)-N-methyl-2-(4-methyl-1-piperazinyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 311340-02-0 C26 H24 C1 F6 N5 O . CMF

CM 2

CRN 110-17-8 C4 H4 O4 CMF CDES 2:E

Double bond geometry as shown.

RN 311341-05-6 USPATFULL

.5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-CN chlorophenyl)-N-methyl-2-(1-piperazinyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

311340-04-2 CRN

CMF C25 H22 Cl F6 N5 O

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 311341-06-7 USPATFULL CN 5-Pvrimidinecarboxamide

5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)-2-(4-methyl-1-piperazinyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 311340-12-2 CMF C27 H27 F6 N5 O

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 311341-07-8 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2[(3R,5S)-3,5-dimethyl-1-piperazinyl]-N-methyl-4-(2-methylphenyl)-, rel-,
(2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 311340-13-3 CMF C28 H29 F6 N5 O

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 311341-08-9 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)-2-(1-piperazinyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 311340-14-4 CMF C26 H25 F6 N5 O

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E Double bond geometry as shown.

RN 311341-09-0 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(4fluorophenyl)-N-methyl-2-(4-methyl-1-piperazinyl)-, (2E)-2-butenedioate
(1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 311340-26-8 CMF C26 H24 F7 N5 O

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 311341-10-3 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2[(3R,5S)-3,5-dimethyl-1-piperazinyl]-4-(4-fluorophenyl)-N-methyl-, rel-,
(2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 311340-27-9 CMF C27 H26 F7 N5 O

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 311341-11-4 USPATFULL
CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(4-fluorophenyl)-N-methyl-2-(1-piperazinyl)-, (2E)-2-butenedioate (1:1)
(9CI) (CA INDEX NAME)

CM 1

CRN 311340-28-0 CMF C25 H22 F7 N5 O

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 311341-12-5 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-fluorophenyl)-N-methyl-2-(1-piperazinyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 311340-34-8 CMF C25 H22 F7 N5 O

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 311341-13-6 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(4-fluoro-2-methylphenyl)-N-methyl-2-(4-methyl-1-piperazinyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 311340-38-2 CMF C27 H26 F7 N5 O

CM 2

CRN 110-17-8 CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

RN 311341-14-7 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2[(3R,5S)-3,5-dimethyl-1-piperazinyl]-4-(4-fluoro-2-methylphenyl)-Nmethyl-, rel-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 311340-39-3 CMF C28 H28 F7 N5 O

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 311341-15-8 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(4-fluoro-2-methylphenyl)-N-methyl-2-(1-piperazinyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

'CM 1

CRN 311340-40-6 CMF C26 H24 F7 N5 O

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 311341-16-9 USPATFULL CN 5-Pyrimidinecarboxamide

5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-[(3R,5S)-3,5-dimethyl-1-piperazinyl]-N-methyl-4-(1-naphthalenyl)-, rel-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 311340-45-1 CMF C31 H29 F6 N5 O

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 311341-17-0 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(1-naphthalenyl)-2-(1-piperazinyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 311340-46-2 CMF C29 H25 F6 N5 O

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

IT 311340-71-3P 311340-75-7P 311340-82-6P

311340-83-7P 311340-84-8P 311340-86-0P

311340-87-1P

(prepn and biol. activity of phenylpyrimidine derivs. as NK-1 antagonists)

RN 311340-71-3 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-methyl-4-phenyl- (9CI) (CA:INDEX NAME)

RN 311340-75-7 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-

methyl-2-[[(methylsulfonyl)oxy]methyl]-4-phenyl- (9CI) (CA INDEX NAME)

RN 311340-82-6 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dichlorophenyl)methyl]-2-methyl-4-phenyl-(9CI) (CA INDEX NAME)

RN 311340-83-7 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2,4diphenyl- (9CI) (CA INDEX NAME)

RN 311340-84-8 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dichlorophenyl)methyl]-2,4-diphenyl-(9CI) (CA INDEX NAME)

RN 311340-86-0 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[(3,5-dichlorophenyl)methyl]-4-phenyl-2-propyl-(9CI) (CA INDEX NAME)

311340-87-1 USPATFULL RN

5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-CN phenyl-2-propyl- (9CI) (CA INDEX NAME)

L41 ANSWER 14 OF 18 USPATFULL

ACCESSION NUMBER:

2000:153716 USPATFULL

TITLE:

Azospiro compounds as NK1 or NK2 antagonists

Russell, Keith, Newark, DE, United States INVENTOR(S):

PATENT ASSIGNEE(S): Zeneca Limited, United Kingdom (non-U.S. corporation)

> NUMBER KIND DATE CUS_6147083 20001114

PATENT INFORMATION:

19990827 APPLICATION INFO .: US 1999-384444

Division of Ser. No. US 1997-979995, filed on 26 Nov 1997, now patented, Pat. No. US 5998444 which is a RELATED APPLN. INFO.:

continuation of Ser. No. US 1995-547512, filed on 24

Oct 1995, now patented, Pat. No. US 5710169

NUMBER DATE PRIORITY INFORMATION: GB 1994-21411 19941025 GB 1995-12367 19950617 Utility

DOCUMENT TYPE: FILE SEGMENT: Granted PRIMARY EXAMINER: Chang, Ceila LEGAL REPRESENTATIVE: Person, Richard V.

NUMBER OF CLAIMS: 12 EXEMPLARY CLAIM: LINE COUNT: 1761

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds of formula I: ##STR1## wherein Q.sup.1, Q.sup.2, Q.sup.3, Q.sup.4, and Q.sup.5 have any of the meanings given in the specification, their N-oxides, and their pharmaceutically acceptable salts are nonpeptide antagonists of SP and NKA are useful for the treatment of asthma, etc. Also disclosed are pharmaceutical compositions, processes for preparing the compounds of formula I and intermediates.

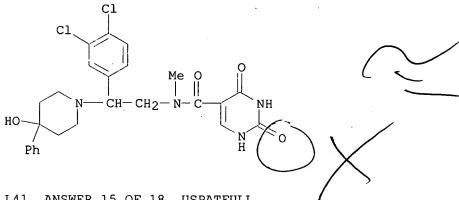
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

178166-76-2P

(prepn. of N-acylated 2-heterocycloethylamines as nonpeptide antagonists of SP and NKA)

RN 178166-76-2 USPATFULL

CN 5-Pyrimidinecarboxamide, N-[2-(3,4-dichlorophenyl)-2-(4-hydroxy-4-phenyl-1piperidinyl)ethyl]-1,2,3,4-tetrahydro-N-methyl-2,4-dioxo- (9CI) (CA INDEX NAME)



L41 ANSWER 15 OF 18 USPATFULL

ACCESSION NUMBER:

1999:160060 USPATFULL

TITLE:

Piperidinyl compounds as NK1 or NK2 antagonists

Russell, Keith, Newark, DE, United States INVENTOR(S):

PATENT ASSIGNEE(S):

Zeneca Ltd., United Kingdom (non-U.S. corporation)

NUMBER KIND DATE 5998444 199912.07

PATENT INFORMATION: APPLICATION INFO .: RELATED APPLN. INFO.:

US 1997-979995 19971126 (8)

Division of Ser. No. US 1995-547512, filed on 24 Oct

1995, now patented, Pat. No. US 5710169

DOCUMENT TYPE: Utility FILE SEGMENT: Granted PRIMARY EXAMINER: Chang, Ceila LEGAL REPRESENTATIVE: Person, Richard V.

NUMBER OF CLAIMS: 12 EXEMPLARY CLAIM: 1 LINE COUNT: 1769

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds of formula I ##STR1## wherein Q.sup.1, Q.sup.2, Q.sup.3, AB Q.sup.4, and Q.sup.5 have any of the meanings given in the specification, their N-oxides, and their pharmaceutically acceptable salts are nonpeptide antagonists of SP and NKA are useful for the treatment of asthma, etc. Also disclosed are pharmaceutical compositions, processes for preparing the compounds of formula I and intermediates.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

178166-76-2P

(prepn. of N-acylated 2-heterocycloethylamines as nonpeptide antagonists of SP and NKA)

RN 178166-76-2 USPATFULL

5-Pyrimidinecarboxamide, N-[2-(3,4-dichlorophenyl)-2-(4-hydroxy-4-phenyl-1-CN piperidinyl)ethyl]-1,2,3,4-tetrahydro-N-methyl-2,4-dioxo- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 \\ \hline \\ N \\ \hline \\ Ph \\ \end{array}$$

L41 ANSWER 16 OF 18 USPATFULL

ACCESSION NUMBER:

1998:160118 USPATFULL

TITLE:

Diazepinoindoles as phosphodiesterase IV inhibitors

INVENTOR(S):

Pascal, Yves, Rueil-Malmaison, France Moodley, Indres, Versailles, France Calvet, Alain, L'Hay-les-Roses, France

Junien, Jean-Louis, Sevres, France

PATENT ASSIGNEE(S):

Institut de Recherche Jouveinal SA, Fresnes, France

(non-U.S. corporation)

NUMBER KIND DATE US 5852190 19981222 US 1995-391865 19950222 (8)

PATENT INFORMATION: APPLICATION INFO.:

> NUMBER DATE

19941014 FR 1994-12282

DOCUMENT TYPE:

Utility

FILE SEGMENT: Granted PRIMARY EXAMINER: Ford, John M.

LEGAL REPRESENTATIVE:

PRIORITY INFORMATION:

Crissey, Todd M.

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

LINE COUNT:

1415

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AΒ The invention relates to diazepinoindoles of formula: ##STR1## in which. R is hydrogen, lower alkyl or lower alkoxy; A is aryl or nitrogen-containing heteroaryl, each of which is optionally substituted by from one to three groups of halogen, lower alkyl, haloalkyl, lower alkoxy and acetamido, or their racemic forms, isomers or pharmaceutically acceptable salts, wherein for the racemic forms of the (S) configuration, A is not phenyl, haloalkyl, or 2-indolyl when R is hydrogen, and for the racemic forms of the (R) configuration, A is not 2-indolyl when R is hydrogen. Also, the invention relates to a method for inhibiting cyclic nucleotide phosphodiesterase activity by administering these compounds to a subject in an amount which is therapeutically effective to inhibit cyclic nucleotide phosphodiesterase activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

179023-76-8P

(prepn. of diazepinoindoles as phosphodiesterase IV inhibitors)

179023-76-8 USPATFULL RN

CN 5-Pyrimidinecarboxamide, 2-chloro-N-(3,4,6,7-tetrahydro-4-oxo-1phenylpyrrolo[3,2,1-jk][1,4]benzodiazepin-3-yl)-4-(trifluoromethyl)-(9CI) (CA INDEX NAME)

L41 ANSWER 17 OF 18 USPATFULL

ACCESSION NUMBER:

1998:7087 USPATFULL

TITLE:

Therapeutic heterocycles

INVENTOR(S):

PATENT ASSIGNEE(S):

Russell, Keith, Newark, DE, United States Zeneca Limited, London, United Kingdom (non-U.S.

corporation)

NUMBER KIND DATE PATENT INFORMATION: US 5710169 19980120 APPLICATION INFO.: US 1995-547512 19951024 (8)

> NUMBER DATE

PRIORITY INFORMATION:

GB 1994-21411 19941025 GB 1995-12367

Utility

19950617

DOCUMENT TYPE: FILE SEGMENT:

Granted

PRIMARY EXAMINER:

Ivy, C. Warren

ASSISTANT EXAMINER:

Dahlen, Garth M.

LEGAL REPRESENTATIVE:

Harris, Robert J., Darkes, Paul R.

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

1

LINE COUNT:

1866

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds of formula I ##STR1## wherein Q.sup.1, Q.sup.2, Q.sup.3, AB Q.sup.4, and Q.sup.5 have any of the meanings given in the specification, their N-oxides, and their pharmaceutically acceptable salts are nonpeptide antagonists of SP and NKA are useful for the treatment of asthma, etc. Also disclosed are pharmaceutical compositions, processes for preparing the compounds of formula I and intermediates.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

178166-76-2P

(prepn. of N-acylated 2-heterocycloethylamines as nonpeptide antagonists of SP and NKA)

178166-76-2 USPATFULL RN

5-Pyrimidinecarboxamide, N-[2-(3,4-dichlorophenyl)-2-(4-hydroxy-4-phenyl-1-CN piperidinyl)ethyl]-1,2,3,4-tetrahydro-N-methyl-2,4-dioxo- (9CI) (CA INDEX NAME)

RN 157360-94-6 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[butyl[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]amino]-N-methoxy-2-methyl- (9CI) (CA INDEX NAME)

USPATFULL L41 ANSWER 18 OF 18

ACCESSION NUMBER:

93:82865 USPATFULL

TITLE:

Angiotensin II receptor antagonists

INVENTOR(S):

Winn, Martin, Deerfield, IL, United States De, Biswanath, Buffalo Grove, IL, United States Zydowsky, Thomas M., Waukegan, IL, United States Kerkman, Daniel J., Lake Villa, IL, United States DeBernardis, John F., Lindenhurst, IL, United States Rosenberg, Saul H., Libertyville, IL, United States Shiosaki, Kazumi, Libertyville, IL, United States Basha, Fatima Z., Lake Forest, IL, United States Tasker, Andrew S., Lindenhurst, IL, United States von Geldern, Thomas W., Richmond, IL, United States Kester, Jeffrey A., Deerfield, IL, United States

Boyd, Steven, Mundelein, IL, United States Yamamoto, Diane M., Gurnee, IL, United States

PATENT ASSIGNEE(S):

Fung, Anthony K. L., Gurnee, IL, United States Abbott Laboratories, Abbott Park, IL, United States (U.S. corporation)

NUMBER KIND DATE ---- 5250548 19931005 1992-844251 19920302

APPLICATION INFO.: RELATED APPLN. INFO.:

PATENT INFORMATION:

DOCUMENT TYPE:

Continuation-in-part of Ser. No. US 1991-744241, filed on 15 Aug 1991 which is a continuation-in-part of Ser. No. US 1990-580400, filed on 10 Sep 1990, now abandoned

Utility Granted

FILE SEGMENT: PRIMARY EXAMINER: ASSISTANT EXAMINER:

Ford, John M. Gupta, Y. N.

LEGAL REPRESENTATIVE:

Crowley, Steven R.

NUMBER OF CLAIMS: 16 EXEMPLARY CLAIM: 1 LINE COUNT:

7545

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds are disclosed having the formula: ##STR1## The compounds of the invention are angiotensin II receptor antagonists.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT141871-62-7P 157360-94-6P

(prepn. of)

RN 141871-62-7 USPATFULL

CN 5-Pyrimidinecarboxamide, 4-[butyl[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4yl]methyl]amino]-2-methyl- (9CI) (CA INDEX NAME)

Jones 10/075521 Page 237

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FILE COVERS 1907 TO 6 May 2003 (20030506/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

TOXCENTER has been enhanced with new files segments and search fields. See HELP CONTENT for more information.

TOXCENTER thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2003 vocabulary. See http://www.nlm.nih.gov/mesh/summ2003.html for a description on changes.

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=> d que nos 132; fil biosis
L1
               STR
           4706 SEA FILE=REGISTRY SSS FUL L1
L3
          1052 SEA FILE=REGISTRY ABB=ON L3 AND TOXCENTER/LC
L17
           128 SEA FILE=TOXCENTER ABB=ON L17
L29
          8792 SEA FILE=TOXCENTER ABB=ON (POTASSIUM(3A)CHANNEL?)
L30
L31
         19073 SEA FILE=TOXCENTER ABB=ON HEADACHE# OR MIGRAINE# OR ANTIMIGRAI
               NE# OR STATUS MIGRAINOSUS OR HEMICRANIA
L32
              O SEA FILE=TOXCENTER ABB=ON L29 AND (L30 OR L31)
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FILE COVERS 1969 TO DATE. CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 7 May 2003 (20030507/ED)

FILE 'DRUGU' ENTERED AT 15:02:39 ON 08 MAY 2003 COPYRIGHT (C) 2003 THOMSON DERWENT

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FILE LAST UPDATED: 7 MAY 2003 <20030507/UP>
>>> DERWENT DRUG FILE (SUBSCRIBER) <<<
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>>> SDI'S MAY BE RUN WEEKLY OR MONTHLY AS OF JUNE 2001. <<<br/>>>> (WEEKLY IS THE DEFAULT). FOR PRICING INFORMATION <<<br/>>>> SEE HELP COST <<
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>>> FILE COVERS 1983 TO DATE <>> THESAURUS AVAILABLE IN /CT <>>
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L1 STR
L3 4706 SEA FILE=REGISTRY SSS FUL L1
L15 1 SEA FILE=REGISTRY ABB=ON L3 AND DRUGU/LC
L25 2 SEA FILE=DRUGU ABB=ON L15
L35 33531 SEA FILE=DRUGU ABB=ON HEADACHE# OR MIGRAINE# OR ANTIMIGRAINE#
OR STATUS MIGRAINOSUS OR (POTASSIUM(3A)CHANNEL?)
L36 0 SEA FILE=DRUGU ABB=ON L25 AND L35

FILE 'MEDLINE' ENTERED AT 15:02:40 ON 08 MAY 2003

FILE LAST UPDATED: 7 MAY 2003 (20030507/UP). FILE COVERS 1958 TO DATE.

On April 13, 2003, MEDLINE was reloaded. See HELP RLOAD for details.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2003 vocabulary. See http://www.nlm.nih.gov/mesh/changes2003.html for a description on changes.

This file contains CAS Registry Numbers for easy and accurate substance identification.

$_{ m L1}$	S7	R ·
L3	4706 SE	A FILE=REGISTRY SSS FUL L1
L13	1 SE	A FILE=REGISTRY ABB=ON L3 AND MEDLINE/LC
L24	3 SE	A FILE=MEDLINE ABB=ON L13
L37	12594 SE	A FILE=MEDLINE ABB=ON VASCULAR HEADACHES+NT/CT
L38	16772 SE	A FILE=MEDLINE ABB=ON POTASSIUM CHANNELS+NT/CT
L39	0 SE	A FILE=MEDLINE ABB=ON (L37 OR L38) AND L24

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FILE COVERS 1907-1966 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L42 84 L3

=> d que nos 144; fil hom L1 STR L3 4706 SEA FILE=REGISTRY SSS FUL L1 L42 84 SEA FILE=CAOLD ABB=ON L3

10/075521

Jones

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L43 113 SEA FILE=CAOLD ABB=ON HEADACHE# OR MIGRAINE# OR ANTIMIGRAINE# OR STATUS MIGRAINOSUS OR (POTASSIUM(3A)CHANNEL?)

L44 0 SEA FILE=CAOLD ABB=ON L42 AND L43

FILE 'HOME' ENTERED AT 15:03:30 ON 08 MAY 2003



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